

=> s us2004167168/pn  
L1 1 US2004167168/PN

=> d bib abs

L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:77336 CAPLUS  
DN 138:126952  
TI Polymorphs of fexofenadine hydrochloride  
IN Dolitzky, Ben-Zion; Wizel, Shlomit; Krochmal, Barnaba; Diller, Dov; Gross, Irwin  
PA Israel  
SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U. S. Ser. No. 118,807.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 3

|                 | PATENT NO.  | KIND  | DATE     | APPLICATION NO. | DATE           |          |
|-----------------|---|---|----------|-----------------|----------------|----------|
| PI              | US 2003021849   | A1  | 20030130 | US 2002-133460  | 20020426       |          |
|                 | US 2002177608   | A1  | 20021128 | US 2002-118807  | 20020408       |          |
|                 | CA 2465913  | A1  | 20030515 | CA 2002-2465913 | 20021108       |          |
|                 | WO 2003039482   | A2  | 20030515 | WO 2002-US35996 | 20021108       |          |
|                 | WO 2003039482   | A3  | 20031120 |                 |                |          |
|                 | WO 2003039482   | A8  | 20050106 |                 |                |          |
|                 |   | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |                |          |
|                 |   | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |          |                 |                |          |
|                 |   | AU 2002357701   | A1       | 20030519        | AU 2002-357701 | 20021108 |
|                 |   | EP 1453509  | A2       | 20040908        | EP 2002-792238 | 20021108 |
| PRAI            | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK |   |          |                 |                |          |
|                 | JP 2005537218   | T   | 20051208 | JP 2003-541774  | 20021108       |          |
|                 | US 2004058955   | A1  | 20040325 | US 2003-661259  | 20030912       |          |
|                 | US 2004167168   | A1  | 20040826 | US 2004-788924  | 20040225 <--   |          |
|                 | US 2001-282521P   | P   | 20010409 |                 |                |          |
|                 | US 2001-307752P   | P   | 20010725 |                 |                |          |
|                 | US 2001-314396P   | P   | 20010823 |                 |                |          |
|                 | US 2001-336930P   | P   | 20011108 |                 |                |          |
|                 | US 2001-339041P   | P   | 20011207 |                 |                |          |
|                 | US 2001-344114P   | P   | 20011228 |                 |                |          |
|                 | US 2002-361780P   | P   | 20020304 |                 |                |          |
|                 | US 2002-363482P   | P   | 20020311 |                 |                |          |
|                 | US 2002-118807  | A2  | 20020408 |                 |                |          |
|                 | US 2002-133460  | A   | 20020426 |                 |                |          |
|                 | US 2002-390198P   | P   | 20020619 |                 |                |          |
| US 2002-403765P | P   | 20020815  |          |                 |                |          |
| US 2002-406214P | P   | 20020827  |          |                 |                |          |
| US 2002-387670P | P   | 20021006  |          |                 |                |          |
| WO 2002-US35996 | W   | 20021108  |          |                 |                |          |

AB The present invention provides novel crystal forms of fexofenadine hydrochloride Forms V, VI and VIII-XV and processes for their preparation as well as preparation of amorphous form and other crystalline forms of fexofenadine hydrochloride. Forms XIV and XV are solvates of Et acetate, while Form IX is a solvate of MTBE or cyclohexane. The forms are useful for

administration to humans and animals to alleviate symptoms caused by histamine. The present invention further provides pharmaceutical compns. of the new crystalline forms.

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ENTER DISPLAY CODE (TI) OR ?:rn
L2          ANALYZE L1 1 RN :      5 TERMS

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                                ENTRY        SESSION
FULL ESTIMATED COST          16.51          17.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE      TOTAL
                                                ENTRY        SESSION
CA SUBSCRIBER PRICE           -0.78          -0.78
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DICTIONARY FILE UPDATES: 21 JAN 2007 HIGHEST RN 917948-20-0

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<http://www.cas.org/ONLINE/UG/regprops.html>

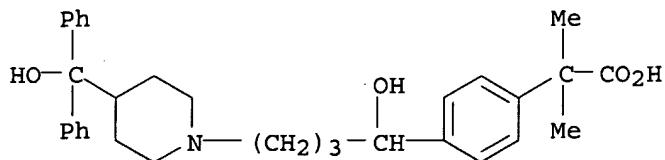
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L3          5 L2

=> d 1-5

L3  ANSWER 1 OF 5  REGISTRY  COPYRIGHT 2007 ACS on STN
RN  470671-12-6  REGISTRY
ED  Entered STN: 06 Nov 2002
CN  Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-
      piperidinyl]butyl]-α,α-dimethyl-, hydrochloride, compd. with
      cyclohexane (9CI) (CA INDEX NAME)
DR  491600-66-9
MF  C32 H39 N O4 . x C6 H12 . Cl H
SR  CA
LC  STN Files: CA, CAPLUS, USPATFULL
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CRN 153439-40-8 (83799-24-0)
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 110-82-7  
CMF C6 H12

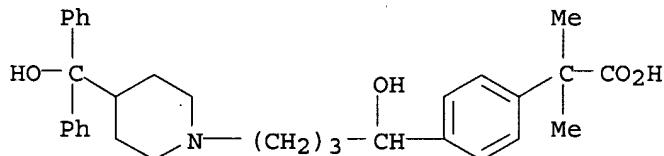


2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 470671-11-5 REGISTRY  
 ED Entered STN: 06 Nov 2002  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[(4-hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride, compd. with 2-methoxy-2-methylpropane (9CI) (CA INDEX NAME)  
 DR 491600-65-8  
 MF C32 H39 N O4 . x C5 H12 O . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

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● HCl

CM 2

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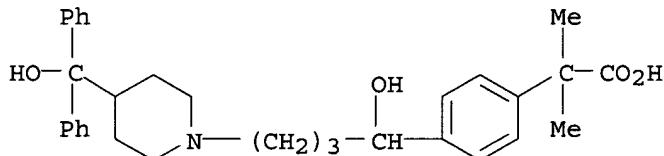
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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 470671-10-4 REGISTRY  
ED Entered STN: 06 Nov 2002  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, compd. with ethyl acetate (9CI) (CA INDEX NAME)  
DR 491600-67-0  
MF C32 H39 N O4 . x C4 H8 O2 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 141-78-6  
CMF C4 H8 O2

Et—O—Ac

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 153439-40-8 REGISTRY  
ED Entered STN: 04 Mar 1994  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN Allegra  
CN Fexofenadine hydrochloride  
CN MDL 16455A  
CN Telfast  
CN Telfast BD  
DR 138452-21-8  
MF C32 H39 N O4 . Cl H

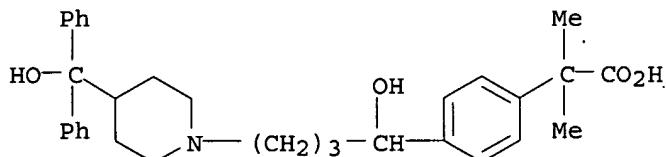
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SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IMSCOSEARCH, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, MSDS-OHS, PATDPASPC, PHAR, PIRA, PROMT, PROUSDDR, PS, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL

(\*File contains numerically searchable property data)

CRN (83799-24-0)



● HCl

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

173 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

173 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2007 ACS on STN

RN 83799-24-0 REGISTRY

ED Entered STN: 16 Nov 1984

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 4-[4-[4-(Hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]-α,α-dimethylphenylacetic acid

CN Carboxyterfenadine

CN Fexofenadine

CN MDL 16455

CN Terfenadine acid metabolite

CN Terfenadine carboxylate

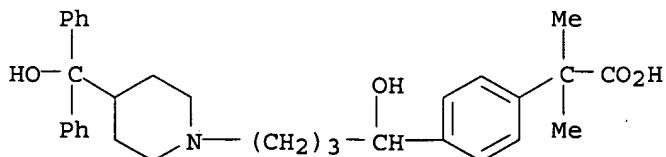
DR 159389-12-5, 76815-58-2

MF C32 H39 N O4

CI COM

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PROMT, PROUSDDR, PS, RTECS\*, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL

(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

549 REFERENCES IN FILE CA (1907 TO DATE)

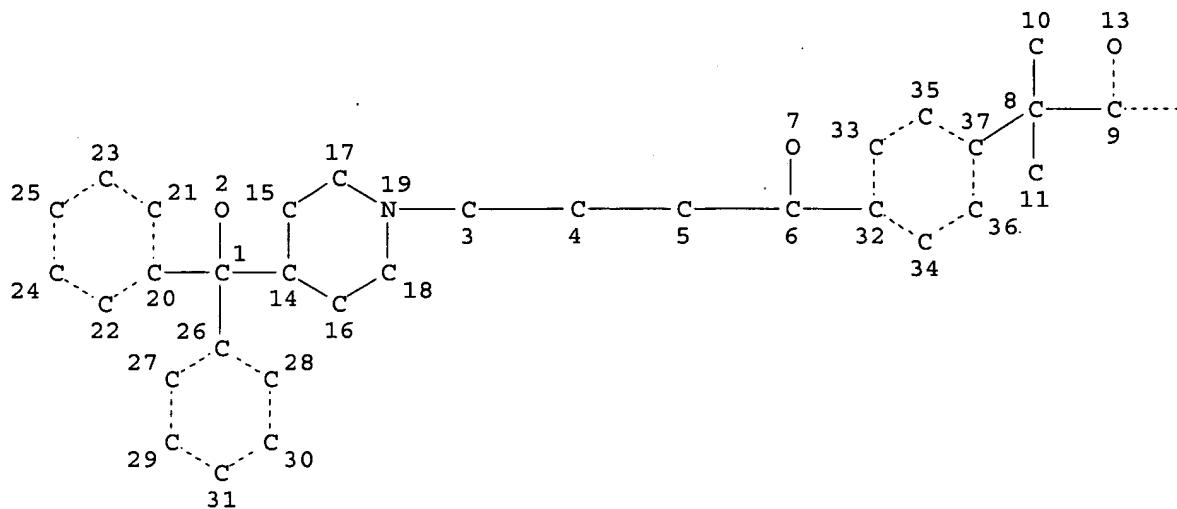
15 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

550 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 14

L4 HAS NO ANSWERS

L4 STR



Page 1-A

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12

Page 1-B

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

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L5 36 SEA FILE=REGISTRY FAM FUL L4

L4 STR  
L5 36 SEA FILE=REGISTRY FAM FUL L4

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L6 15 S L5 AND HYDROCHLORIDE  
L7 1 S 153439-40-8  
L8 14 S L6 NOT L7

FILE 'CAPLUS' ENTERED AT 17:44:13 ON 22 JAN 2007  
L9 18 S L8

=> s 153439-40-8  
L7 1 153439-40-8  
(153439-40-8/RN)

=> s 16 not 17  
L8 14 L6 NOT L7

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FULL ESTIMATED COST

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| FULL ESTIMATED COST | 35.10            | 62.92         |

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CA SUBSCRIBER PRICE

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|---------------------|------------------|---------------|
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FILE COVERS 1907 - 22 Jan 2007 VOL 146 ISS 5  
FILE LAST UPDATED: 21 Jan 2007 (20070121/ED)

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<http://www.cas.org/infopolicy.html>

=> s 18  
L9 18 L8

=> d bib abs hitstr 1-18

L9 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:29843 CAPLUS  
DN 144:114350  
TI Fexofenadine polymorphs and process for the preparation thereof  
IN Castaldi, Graziano; Barreca, Giuseppe; Allegrini, Pietro; Ventimiglia, Gianpiero  
PA Dipharma S.p.A., Italy  
SO Eur. Pat. Appl., 12 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 2

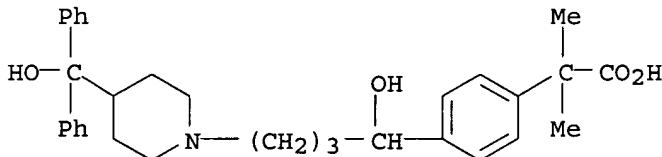
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| PI EP 1614681   | A1   | 20060111 | EP 2005-11652   | 20050531 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,<br>BA, HR, IS, YU |      |          |                 |          |

JP 2005350459 A 20051222 JP 2005-154155 20050526  
 US 2005282860 A1 20051222 US 2005-145927 20050607  
 PRAI IT 2004-MI1143 A 20040608

**AB** The invention provides novel crystalline forms of fexofenadine hydrochloride, a process for the preparation of the novel forms and of the known form A, and their use in therapy. Thus, acetonitrile 2650 mL were loaded in a four-necked 3 L flask, then heated to 45° C. Fexofenadine hydrochloride hydrate 265 g was added under stirring and the suspension was refluxed at (80-82°C) for about one hour. The suspension was cooled to about -15/-10° C in about 4 h. The precipitated solid was filtered and washed with acetonitrile (2 x 80 mL) to obtain 285 g of wet product which, after drying under vacuum at 50° C for 10 h, yields fexofenadine hydrochloride monosolvate 262 g with acetonitrile.

**IT** 174523-28-5P 870994-52-8P  
**RL:** PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (fexofenadine polymorphs and process for preparation thereof)

**RN** 174523-28-5 CAPLUS  
**CN** Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride (9CI)  
 (CA INDEX NAME)



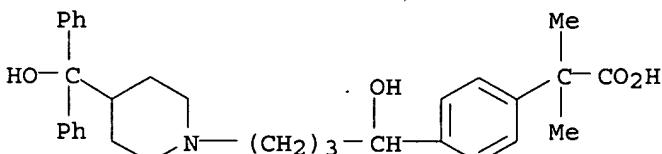
● HCl

● x H<sub>2</sub>O

**RN** 870994-52-8 CAPLUS  
**CN** Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, monohydrochloride, compd.  
 with acetonitrile (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 83799-24-0  
CMF C32 H39 N O4



CM 2

CRN 75-05-8  
CMF C2 H3 N

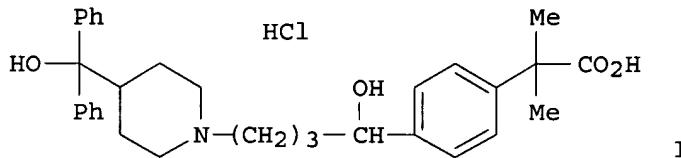
H<sub>3</sub>C—C≡N

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:1311440 CAPLUS  
DN 144:57358  
TI Preparation of fexofenadine polymorphs  
IN Castaldi, Graziano; Barreca, Giuseppe; Ventimiglia, Gianpiero; Allegrini, Pietro  
PA Dipharma S.p.A., Italy  
SO Can. Pat. Appl., 17 pp.  
CODEN: CPXXEB  
DT Patent  
LA English  
FAN.CNT 2

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| CA 2509311          | A1   | 20051208 | CA 2005-2509311 | 20050607 |
| JP 2005350459       | A    | 20051222 | JP 2005-154155  | 20050526 |
| US 2005282860       | A1   | 20051222 | US 2005-145927  | 20050607 |
| PRAI IT 2004-MI1143 | A    | 20040608 |                 |          |

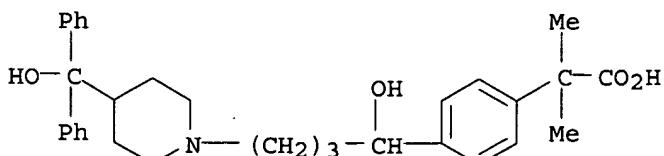
GI



AB The invention provides novel crystalline forms of fexofenadine-HCl (I), a process for the preparation of the novel forms and of the known form A, and their use in therapy.  
IT 870994-52-8P  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of fexofenadine polymorphs)  
RN 870994-52-8 CAPLUS  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, monohydrochloride, compd.  
with acetonitrile (1:1) (9CI) (CA INDEX NAME)

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CRN 83799-24-0  
CMF C32 H39 N O4

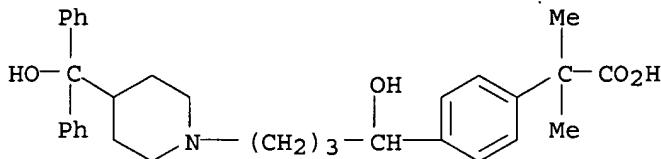


CM 2

CRN 75-05-8  
CMF C2 H3 N

H<sub>3</sub>C—C≡N

IT 174523-28-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of fexofenadine polymorphs)  
RN 174523-28-5 CAPLUS  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride, hydrate (9CI)  
(CA INDEX NAME)



● HCl

● x H<sub>2</sub>O

L9 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:1075404 CAPLUS  
DN 143:353370  
TI Bilayer tablet comprising an antihistamine and a decongestant  
IN Patel, Ashish A.; Guo, Mintong; Matharu, Amol Singh  
PA USA  
SO U.S. Pat. Appl. Publ., 9 pp.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| PI US 2005220877    | A1   | 20051006 | US 2004-815127  | 20040331 |
| PRAI US 2004-815127 |      | 20040331 |                 |          |

OS MARPAT 143:353370

AB A pharmaceutical composition in the form of a bilayer tablet comprising: (a) a first discrete portion made with Formulation (A) which comprises a sympathomimetic drug, or a pharmaceutically acceptable salt thereof, and a first carrier base material which provides a sustained-release of the sympathomimetic drug or the pharmaceutically acceptable salt thereof, said first carrier base material comprising a mixture of: (i) a filler; (ii) a cellulose binder selected from the group consisting of hydroxypropyl methylcellulose, hydroxypropyl cellulose, and mixts. thereof, wherein the hydroxypropyl cellulose has a mol. weight of at least 80,000; (iii) ethylcellulose; (iv) a wax; and (v) a lubricant; and (b) a second discrete portion made with Formulation (B) which comprises a piperidinoalkanol

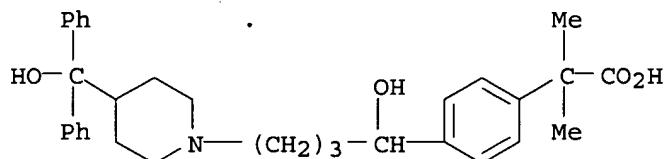
compound, or a pharmaceutically acceptable salt thereof, and a second carrier base material which provides an immediate-release of the piperidinoalkanol or the pharmaceutically acceptable salt thereof, said second carrier base comprising a mixture of: (i)' a sugar; (ii)' a disintegrant; and (iii)' a lubricant. The bilayer tablets exhibit acceptable content uniformity under USP requirements, resist lamination and have acceptable phys. strength during the self life.

IT 174523-28-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(bilayer tablet comprising antihistamine and decongestant)

RN 174523-28-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, hydrate (9CI)  
(CA INDEX NAME)



● HCl

● x H<sub>2</sub>O

L9 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:821326 CAPLUS

DN 143:229723

TI Process for optical resolution method for fexofenadine HCl salt

IN Lin, Guoqiang; Peng, Jiashi

PA Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences,  
Peop. Rep. China

SO Faming Zhanli Shengqing Gongkai Shuomingshu, 11 pp.

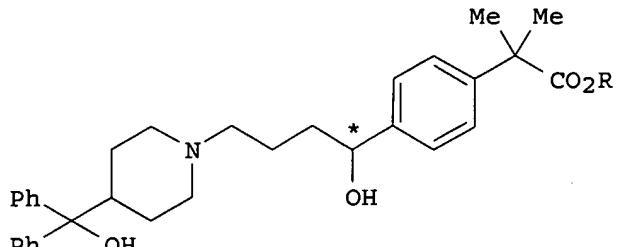
CODEN: CNXXEV

DT Patent

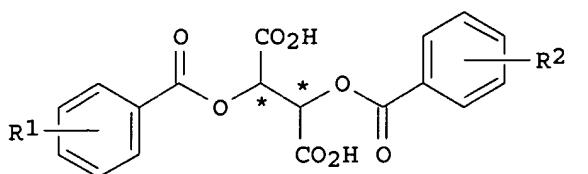
LA Chinese

FAN.CNT 1

|      | PATENT NO.                             | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | CN 1442407                             | A    | 20030917 | CN 2003-116314  | 20030411 |
| PRAI | CN 2003-116314                         |      | 20030411 |                 |          |
| OS   | CASREACT 143:229723; MARPAT 143:229723 |      |          |                 |          |
| GI   |  |      |          |                 |          |



I



II

**AB** The chiral (+)- and (-)-fexofenadine HCl salt, I•HCl (R = H), were prepared by optical resolution of racemic fexofenadine alkyl esters I (R = alkyl) with chiral tartaric acid derivative, such as dibenzoyltartaric acids II•nH<sub>2</sub>O (R<sub>1</sub>, R<sub>2</sub> = H, MeO, Cl, NO<sub>2</sub> or CN; n = 0-3), diacetyl tartaric acids or their hydrates, in organic solvent at -20-100°C to obtain chiral (+)- and (-)-fexofenadine alkyl ester; followed by hydrolysis to provide the title compound

**IT** 138515-56-7P 138515-57-8P

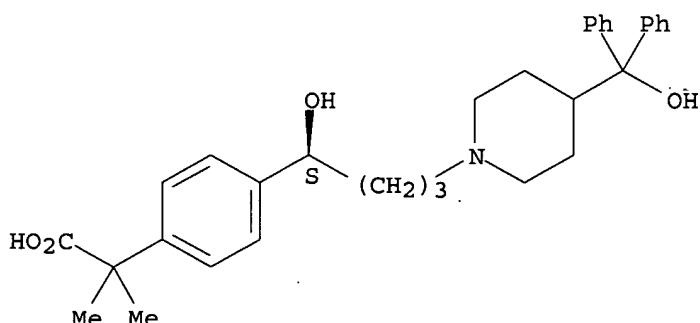
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of chiral fexofenadine HCl salt by optical resolution of fexofenadine alkyl esters with tartaric acid derivs.)

**RN** 138515-56-7 CAPLUS

**CN** Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

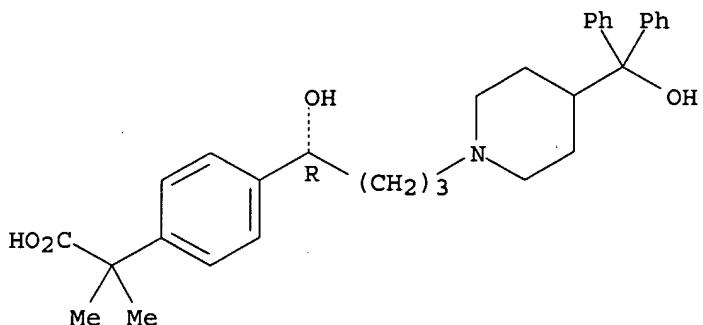


● HCl

**RN** 138515-57-8 CAPLUS

**CN** Benzeneacetic acid, 4-[(1R)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

L9 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:238545 CAPLUS

DN 142:291446

TI Methods and kits for monitoring resistance to therapeutic agents

IN Cantor, Thomas L.

PA USA

SO U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|------|----------------|------|----------|-----------------|----------|
| PI   | US 2005059023  | A1   | 20050317 | US 2003-664263  | 20030916 |
| PRAI | US 2003-664263 |      | 20030916 |                 |          |

AB The invention relates to novel methods and kits for monitoring the therapeutic inactivating capacity of a subject. The invention further relates to methods and kits for determining and/or monitoring a therapeutic protocol for a subject afflicted with auto-antibodies specific for a natural substance, wherein these auto antibodies develop as a result of therapeutic administration of the natural substance or an analog thereof. These methods and kits can be used, for example, to initiate, terminate, or adjust the level of administration of any of a variety of therapeutic agents.

IT 616242-78-5, Allegra D

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Fexofenadine-pseudoephedrine mixture; methods and kits for monitoring  
resistance to therapeutic agents)

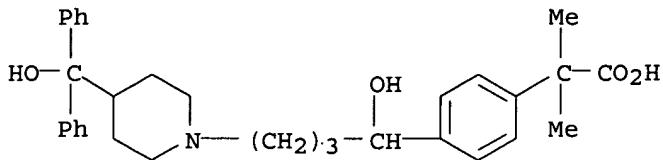
RN 616242-78-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with  
( $\alpha$ S)- $\alpha$ -[(1S)-1-(methylamino)ethyl]benzenemethanol  
hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H

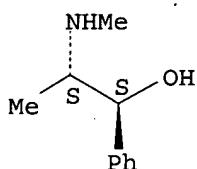


● HCl

CM 2

CRN 345-78-8  
CMF C10 H15 N O . Cl H

Absolute stereochemistry. Rotation (+).



● HCl

L9 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:1100662 CAPLUS

DN 143:19502

TI Fexofenadine HCl 60 mg/pseudoephedrine HCl 120 mg has a 60-minute onset of action in the treatment of seasonal allergic rhinitis symptoms, as assessed in an allergen exposure unit

AU Berkowitz, Robert B.; McCafferty, Frank; Lutz, Cheryl; Bazelmans, Donna; Godfrey, Penny; Meeves, Suzanne; Liao, Yuning; Georges, George

CS RxResearch, Woodstock, GA, USA

SO Allergy and Asthma Proceedings (2004), 25(5), 335-343  
CODEN: AAPRFV; ISSN: 1088-5412

PB OceanSide Publications, Inc.

DT Journal

LA English

AB Although antihistamine-decongestant combinations are frequently used for allergic rhinitis, published data about the onset of action of these combination agents are limited. This randomized, double-blind, placebo-controlled, parallel-group study investigated the onset of action, efficacy, and safety of fexofenadine HCl 60 mg/pseudoephedrine HCl 120 mg or placebo in patients with moderate-to-severe seasonal allergic rhinitis in an allergen exposure unit. Assessments included major symptom complex (MSC) score (sum of sneezing, itchy nose, runny nose, watery eyes, itchy eyes, itchy ears/throat, and stuffy nose), and total symptom complex (TSC) score (MSC symptoms plus nose blows, sniffles, postnasal drip, and cough). Onset of action was defined as the first time that two consecutive, statistically significant absolute changes in MSC scores from baseline were achieved for study drug relative to placebo. The onset of action for the combination was 60 min (mean absolute MSC change from baseline: -6.9 ± 0.3 for the combination compared with -5.9 ± 0.3 for placebo from a baseline of 17.0 and 16.8, resp.; p < 0.05) for the modified intention-to-treat population (n = 486). Redns. in absolute MSC scores were

significantly greater with the combination than placebo at all subsequent time points ( $p < 0.01$ ). The combination resulted in significantly greater redns. compared with placebo for percent MSC, absolute TSC, and percent TSC scores at 60 min postdose (all  $p < 0.05$ ) and throughout the study (all  $p < 0.05$ ). The incidence of adverse events was 1.6 and 3.3% for the combination and placebo, resp. In conclusion, fexofenadine HCl 60 mg/pseudoephedrine HCl 120 mg is effective in the treatment of patients with moderate-to-severe seasonal AR, with an onset of action of 60 min and a good safety profile.

IT 616242-78-5, Allegra-D

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fexofenadine HCl 60 mg, pseudoephedrine HCl 120 mg combination was effective with good safety profile, onset of action of 60 min in human with moderate-to-severe seasonal allergic rhinitis to ragweed allergen in allergen exposure unit)

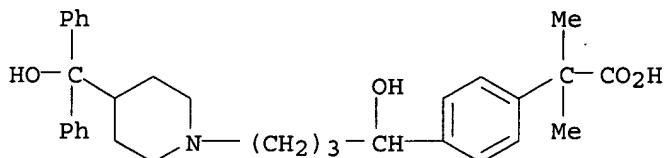
RN 616242-78-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with ( $\alpha S$ )- $\alpha$ -[(1S)-1-(methylamino)ethyl]benzenemethanol hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



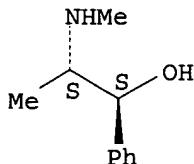
● HCl

CM 2

CRN 345-78-8

CMF C10 H15 N O . Cl H

Absolute stereochemistry. Rotation (+).



● HCl

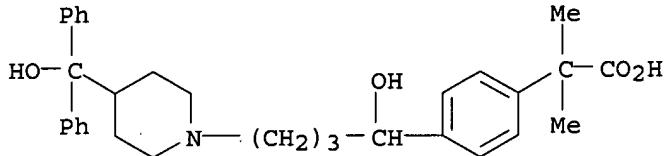
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2004:148071 CAPLUS  
DN 140:210225  
TI Comparison of the combinations of fexofenadine-pseudoephedrine and loratadine-montelukast in the treatment of seasonal allergic rhinitis  
AU Moinuddin, Rizwan; de Tineo, Marcy; Maleckar, Barbara; Naclerio, Robert M.; Baroody, Fuad M.  
CS Section of Otolaryngology-Head and Neck Surgery, The Pritzker School of Medicine, The University of Chicago, Chicago, IL, USA  
SO Annals of Allergy, Asthma, & Immunology (2004), 92(1), 73-79  
CODEN: ALAIF6; ISSN: 1081-1206  
PB American College of Allergy, Asthma, & Immunology  
DT Journal  
LA English  
AB Background: Antihistamine-decongestant combinations are used routinely for the treatment of seasonal allergic rhinitis. Recently, the combination of an antihistamine and a leukotriene receptor antagonist has been shown to be efficacious. Objective: To compare the 2 combinations in the treatment of seasonal allergic rhinitis. Methods: This was a randomized, double-blind, double-dummy, parallel study in which patients with seasonal allergic rhinitis received either fexofenadine, 60 mg, and pseudoephedrine, 120 mg, twice, daily, or loratadine, 10 mg, and montelukast, 10 mg, once daily, for 2 wk. The Rhinoconjunctivitis Quality of Life Questionnaire (RQLQ) was completed at the beginning and end of the study. Patients recorded nasal symptoms and measured nasal peak inspiratory flow (NPIF) twice daily. Baseline measurements were obtained before initiation of treatment. Results: Compared with baseline, both treatments resulted in statistically and clin. meaningful redns. of overall and individual RQLQ domain scores ( $P < .01$ ) except for the sleep domain, for which only loratadine-montelukast led to significant improvement. There was a significant reduction in total symptoms ( $P \leq .05$ ) compared with baseline on most treatment days in patients receiving both combinations. When the change from baseline was analyzed, there were no statistically significant differences in total symptoms between fexofenadine-pseudoephedrine and loratadine-montelukast (median, -28.5 vs. -22.5;  $P = .33$ ). There was a significant improvement in NPIF from baseline on all treatment days in both groups ( $P < .05$ ), with no significant difference between treatments. Conclusions: Fexofenadine-pseudoephedrine and loratadine-montelukast have comparable efficacy in improving symptoms, RQLQ scores, and nasal obstruction in seasonal allergic rhinitis. The lack of improvement in sleep in the fexofenadine-pseudoephedrine group is probably related to insomnia, a known adverse effect of pseudoephedrine.  
IT 616242-78-5, Allegra-D  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIO (Biological study); USES (Uses)  
(Allegra-D; fexofenadine-pseudoephedrine (Allegra D) vs.  
loratadine-montelukast (Singulair) in treatment of patients with  
seasonal allergic rhinitis)  
RN 616242-78-5 CAPLUS  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with  
( $\alpha S$ )- $\alpha$ -[(1S)-1-(methylamino)ethyl]benzenemethanol  
hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N 04 . Cl H

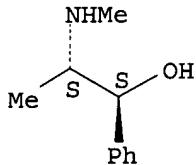


● HCl

CM 2

CRN 345-78-8  
CMF C10 H15 N O . Cl H

Absolute stereochemistry. Rotation (+).



● HCl

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2003:704625 CAPLUS  
 DN 139:332660  
 TI Onset of action, efficacy, and safety of fexofenadine 60 mg/pseudoephedrine 120 mg versus placebo in the Atlanta allergen exposure unit  
 AU Berkowitz, Robert B.; Woodworth, George G.; Lutz, Cheryl; Weiler, Kay; Weiler, John; Moss, Madelyn; Meeves, Suzanne  
 CS RxResearch, Woodstock, GA, USA  
 SO Annals of Allergy, Asthma, & Immunology (2002), 89(1), 38-45  
 CODEN: ALAIF6; ISSN: 1081-1206  
 PB American College of Allergy, Asthma, & Immunology  
 DT Journal  
 LA English  
 AB Background: Second-generation antihistamine-decongestant combinations are often used to treat seasonal allergies. However, onset of action and efficacy data for these agents in a controlled setting are limited. Objective: Determine onset of action of fexofenadine-pseudoephedrine (Allegra-D, Aventis, Bridgewater, NJ) for treating moderate-to-severe seasonal allergies in an allergen exposure unit. Methods: This single-dose, double-blind, placebo-controlled study was conducted during the fall ragweed allergy season. Qualifying subjects attended one to two priming visits; those with sufficient symptom scores returned for treatment and were initially exposed to ragweed pollen for 90 min. Symptomatic subjects received fexofenadine-pseudoephedrine or placebo and recorded symptoms for 6 h postdose. Efficacy variables were major symptom complex (MSC; sneezes, itchy nose, runny nose, watery eyes, itchy eyes, itchy ears/throat, stuffy nose), total symptom complex (nose blows, sniffles, postnasal drip, cough, plus all MSC symptoms), and all

individual symptoms as well as headache. Onset of action for each efficacy variable was calculated as the earliest time at which a consistent, significant decrease was seen for fexofenadine-pseudoephedrine vs. placebo. Results: Of 571 screened subjects, 298 were randomized. Onset of relief for fexofenadine-pseudoephedrine ( $n = 148$ ) was 45 min postdose (MSC,  $P = 0.0127$ ; total symptom complex,  $P = 0.0380$ ). All individual symptoms were reduced to a greater extent with fexofenadine-pseudoephedrine than with placebo ( $P < 0.05$ , not adjusted for multiple comparisons). Decrease in headache with fexofenadine-pseudoephedrine vs. placebo began 45 min postdose ( $P = 0.0425$ ). Incidence of treatment-related adverse events was 1.4% for fexofenadine-pseudoephedrine and 3.3% for placebo. Conclusions: Fexofenadine-pseudoephedrine was safe and effective in treating a broad range of allergy symptoms, with a rapid onset of action at 45 min.

IT

616242-78-5, Allegra-D

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (onset of action, efficacy, and safety of fexofenadine 60 mg/pseudoephedrine 120 mg vs. placebo in Atlanta allergen exposure unit)

RN

616242-78-5 CAPLUS

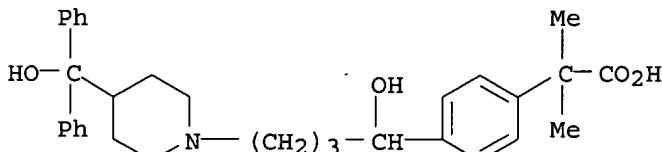
CN

Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt: with ( $\alpha S$ )- $\alpha$ -[(1S)-1-(methylamino)ethyl]benzenemethanol hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



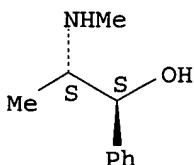
● HCl

CM 2

CRN 345-78-8

CMF C10 H15 N O . Cl H

Absolute stereochemistry. Rotation (+).



● HCl

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:132338 CAPLUS  
DN 138:193263  
TI Drug compositions for treatment of allergic rhinitis containing fexofenadine hydrochloride and anticholinergic agents  
IN Iizuka, Takao; Nakano, Kazumi; Kurachi, Michio; Nakamori, Masaru  
PA Taisho Pharmaceutical Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 4 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| PI JP 2003048834    | A    | 20030221 | JP 2001-233185  | 20010801 |
| PRAI JP 2001-233185 |      | 20010801 |                 |          |

AB The compns., which suppress sneezing and running nose due to allergic rhinitis, etc., and have less sleepiness-inducing action, contain fexofenadine hydrochloride (I) and anticholinergic agents. I.p. administration of I and belladonna total alkaloids to guinea pigs strongly suppressed sneezing and running nose. Pharmaceutical preps. containing I and belladonna alkaloids were also formulated.

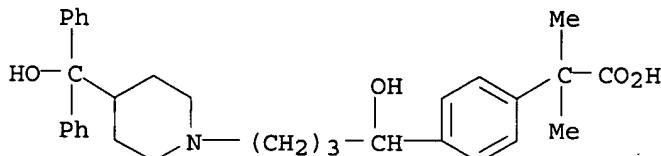
IT 498547-68-5 498547-69-6 498547-70-9  
498547-71-0 498547-72-1 498547-73-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(drug compns. containing fexofenadine hydrochloride and anticholinergic agents for treatment of symptoms of allergic rhinitis)

RN 498547-68-5 CAPLUS  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl  $\alpha$ -(hydroxymethyl)benzeneacetate (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8  
CMF C32 H39 N O4 . Cl H

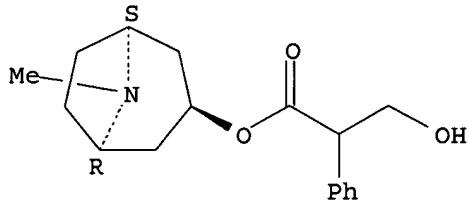


● HCl

CM 2

CRN 51-55-8  
CMF C17 H23 N O3

Relative stereochemistry.



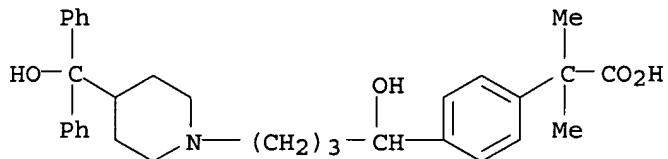
RN 498547-69-6 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl  $\alpha$ -hydroxybenzeneacetate (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



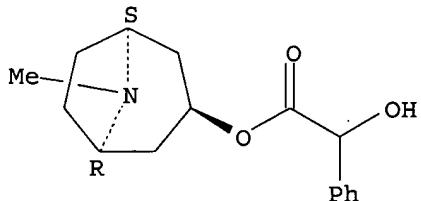
● HCl

CM 2

CRN 87-00-3

CMF C16 H21 N O3

Relative stereochemistry.



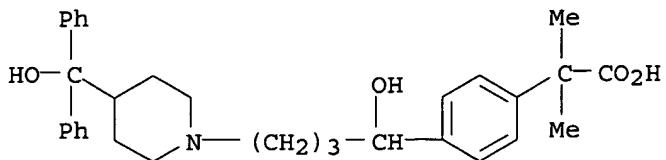
RN 498547-70-9 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with ( $\alpha$ S)-(1 $\alpha$ ,2 $\beta$ ,4 $\beta$ ,5 $\alpha$ ,7 $\beta$ )-9-methyl-3-oxa-9-azatricyclo[3.3.1.0 $2,4$ ]non-7-yl  $\alpha$ -(hydroxymethyl)benzeneacetate (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H

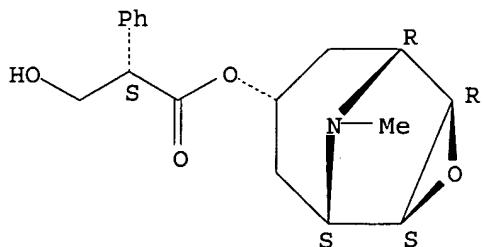


● HCl

CM 2

CRN 51-34-3  
CMF C17 H21 N O4

Absolute stereochemistry. Rotation (-).

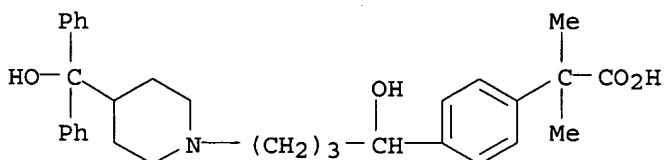


RN 498547-71-0 CAPLUS

CN Benzenepropanaminium,  $\gamma$ -(aminocarbonyl)-N-methyl-N,N-bis(1-methylethyl)- $\gamma$ -phenyl-, iodide, mixt. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethylbenzeneacetic acid hydrochloride (9CI) (CA INDEX NAME)

CM 1

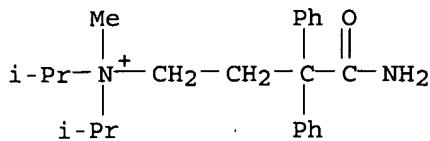
CRN 153439-40-8  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 71-81-8  
CMF C23 H33 N2 O . I



● I<sup>-</sup>

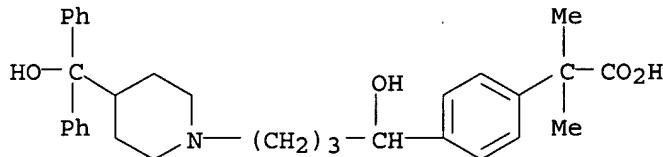
RN 498547-72-1 CAPLUS

CN Ethanaminium, N,N-diethyl-2-[(hydroxydiphenylacetyl)oxy]-N-methyl-, bromide, mixt. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethylbenzeneacetic acid hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H

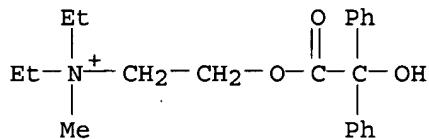


● HCl

CM 2

CRN 3166-62-9

CMF C21 H28 N O3 . Br



● Br<sup>-</sup>

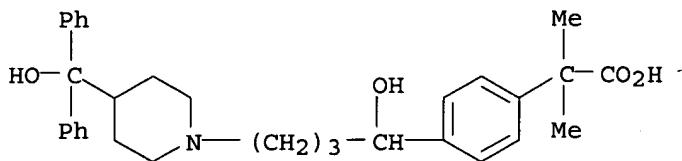
RN 498547-73-2 CAPLUS

CN 2-Propanaminium, N-methyl-N-(1-methylethyl)-N-[2-[(9H-xanthan-9-ylcarbonyl)oxy]ethyl]-, bromide, mixt. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethylbenzeneacetic acid hydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

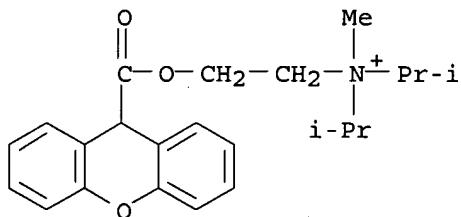
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 50-34-0  
CMF C23 H30 N O3 . Br



● Br<sup>-</sup>

L9 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2003:77336 CAPLUS  
 DN 138:126952  
 TI Polymorphs of fexofenadine hydrochloride  
 IN Dolitzky, Ben-Zion; Wizel, Shlomit; Krochmal, Barnaba; Diller, Dov; Gross, Irwin  
 PA Israel  
 SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U. S. Ser. No. 118,807.  
 CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

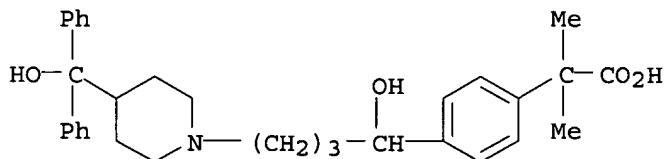
|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|----|---------------|--|----------|-----------------|----------|
| PI | US 2003021849 | A1   | 20030130 | US 2002-133460  | 20020426 |
|    | US 2002177608 | A1   | 20021128 | US 2002-118807  | 20020408 |
|    | CA 2465913    | A1   | 20030515 | CA 2002-2465913 | 20021108 |
|    | WO 2003039482 | A2   | 20030515 | WO 2002-US35996 | 20021108 |
|    | WO 2003039482 | A3   | 20031120 |                 |          |
|    | WO 2003039482 | A8   | 20050106 |                 |          |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
|    | RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  |          |                 |          |

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002357701 A1 20030519 AU 2002-357701 20021108  
 EP 1453509 A2 20040908 EP 2002-792238 20021108  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005537218 T 20051208 JP 2003-541774 20021108  
 US 2004058955 A1 20040325 US 2003-661259 20030912  
 US 2004167168 A1 20040826 US 2004-788924 20040225  
**PRAI** US 2001-282521P P 20010409  
 US 2001-307752P P 20010725  
 US 2001-314396P P 20010823  
 US 2001-336930P P 20011108  
 US 2001-339041P P 20011207  
 US 2001-344114P P 20011228  
 US 2002-361780P P 20020304  
 US 2002-363482P P 20020311  
 US 2002-118807 A2 20020408  
 US 2002-133460 A 20020426  
 US 2002-390198P P 20020619  
 US 2002-403765P P 20020815  
 US 2002-406214P P 20020827  
 US 2002-387670P P 20021006  
 WO 2002-US35996 W 20021108  
**AB** The present invention provides novel crystal forms of fexofenadine hydrochloride Forms V, VI and VIII-XV and processes for their preparation as well as preparation of amorphous form and other crystalline forms of fexofenadine hydrochloride. Forms XIV and XV are solvates of Et acetate, while Form IX is a solvate of MTBE or cyclohexane. The forms are useful for administration to humans and animals to alleviate symptoms caused by histamine. The present invention further provides pharmaceutical compns. of the new crystalline forms.  
**IT** 470671-10-4P 470671-11-5P 470671-12-6P  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of polymorphs of fexofenadine hydrochloride for use as antihistaminic)  
**RN** 470671-10-4 CAPLUS  
**CN** Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, compd. with ethyl acetate (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 141-78-6

CMF C4 H8 O2

Et—O—Ac

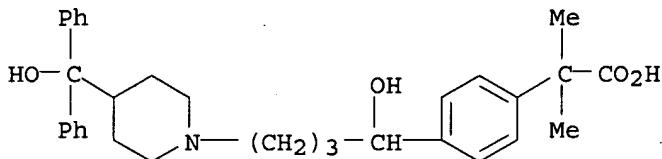
RN 470671-11-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, compd. with 2-methoxy-2-methylpropane (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 1634-04-4

CMF C5 H12 O

t-Bu—O—Me

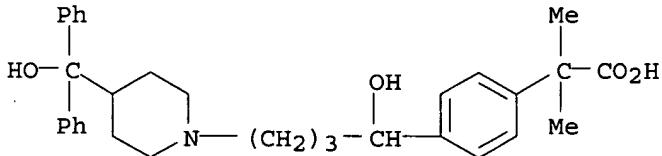
RN 470671-12-6 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, compd. with cyclohexane (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 110-82-7  
CMF C6 H12



L9 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2002:793365 CAPLUS  
DN 137:316066  
TI Polymorphs of fexofenadine hydrochloride  
IN Dolitzky, Ben-Zion; Wizel, Shlomit; Krochmal, Barnaba; Diller, Dov; Gross, Irwin  
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SO PCT Int. Appl., 69 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 3

|      | PATENT NO.      | KIND   | DATE     | APPLICATION NO. | DATE     |
|------|-----------------|--|----------|-----------------|----------|
| PI   | WO 2002080857   | A2   | 20021017 | WO 2002-US11251 | 20020408 |
|      | WO 2002080857   | A3   | 20031218 |                 |          |
|      | WO 2002080857   | A8   | 20040527 |                 |          |
|      | W:              | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |          |                 |          |
|      | RW:             | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
|      | CA 2444456      | A1   | 20021017 | CA 2002-2444456 | 20020408 |
|      | AU 2002305162   | A1   | 20021021 | AU 2002-305162  | 20020408 |
|      | EP 1392303      | A2   | 20040303 | EP 2002-733966  | 20020408 |
|      | R:              | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |          |
| PRAI | US 2001-282521P | P  | 20010409 |                 |          |
|      | US 2001-307752P | P  | 20010725 |                 |          |
|      | US 2001-314396P | P  | 20010823 |                 |          |
|      | US 2001-336930P | P  | 20011108 |                 |          |
|      | US 2001-339041P | P  | 20011207 |                 |          |
|      | US 2001-344114P | P  | 20011228 |                 |          |
|      | US 2002-361780P | P  | 20020304 |                 |          |
|      | US 2002-363482P | P  | 20020311 |                 |          |
|      | WO 2002-US11251 | W  | 20020408 |                 |          |

AB The present invention provides novel crystal forms of fexofenadine hydrochloride Forms (V, VI and VIII through XV) and processes for their preparation and preparation of amorphous form and other crystalline forms of fexofenadine hydrochloride. Forms (XIV and XV) are solvates of Et acetate, while Form IX is anhydrous, but can be crystallized as solvate of MTBE or cyclohexane.

The forms are useful for administration to humans and animals to alleviate symptoms caused by histamine. The present invention further provides pharmaceutical compns. of the new crystalline forms, e.g., capsules and

tablets.

IT 470671-10-4 470671-11-5 470671-12-6

RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(preparation of polymorphs of fexofenadine hydrochloride for antihistaminic compns.)

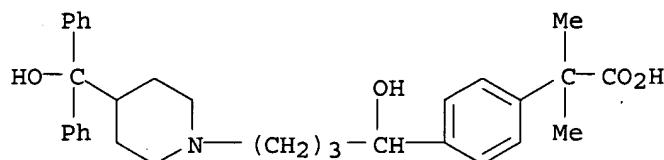
RN 470671-10-4 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, compd. with ethyl acetate (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 141-78-6

CMF C4 H8 O2

Et-O-Ac

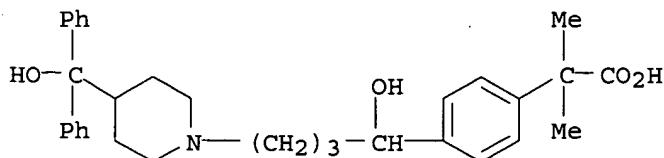
RN 470671-11-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, compd. with 2-methoxy-2-methylpropane (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8

CMF C32 H39 N O4 . Cl H



● HCl

CM 2

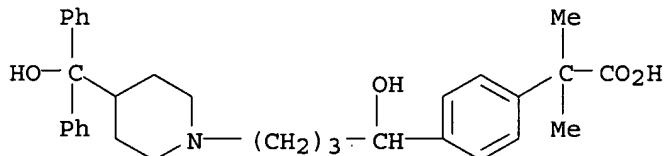
CRN 1634-04-4  
CMF C5 H12 O

t-Bu-O-Me

RN 470671-12-6 CAPLUS  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride, compd. with cyclohexane (9CI) (CA INDEX NAME)

CM 1

CRN 153439-40-8  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 110-82-7  
CMF C6 H12



L9 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:833069 CAPLUS

DN 135:376743

TI Packaging regimen of pseudoephedrine and fexofenadine

IN Randall, Douglas E.; Nicholas, James M.

PA Aventis Pharmaceuticals Inc., USA

SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

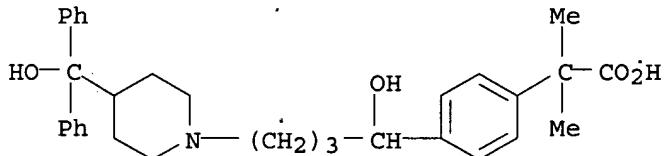
DT Patent

LA English

FAN.CNT 1

|  | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|--|---------------|------|----------|-----------------|----------|
| PI   | WO 2001085148 | A2   | 20011115 | WO 2001-US14353 | 20010503 |
|  | WO 2001085148 | A3   | 20020801 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, |               |      |          |                 |          |

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 2001061165 A5 20011120 AU 2001-61165 20010503  
 US 2002022639 A1 20020221 US 2001-848463 20010503  
 JP 2003532671 T 20031105 JP 2001-581802 20010503  
 PRAI US 2000-202323P P 20000505  
 GB 2000-30802 A 20001218  
 WO 2001-US14353 W 20010503  
 AB A package for dispensing 2 or more drugs is described and claimed. In one of the embodiments of this invention, the package dispenses essentially: a container to dispense drug (A) having therapeutically effective amts. of fexofenadine or its salt; and a container to dispense drug (B) containing a combination of fexofenadine and pseudoephedrine or their salts. Various preferred embodiments of the package of this invention are also described and claimed. Thus, the package of a bilayer tablet comprises a first discrete zone containing 25-33% pseudoephedrine, and a first carrier base material. The first carrier base material comprises a mixture of carnauba wax 66-74% and a suitable antiadherent 0.50-1.50 by weight of pseudoephedrine.  
 IT 174523-28-5  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (packaging regimen of pseudoephedrine and fexofenadine)  
 RN 174523-28-5 CAPLUS  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, hydrate (9CI)  
 (CA INDEX NAME)



● HCl

● x H<sub>2</sub>O

L9 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2000:186719 CAPLUS  
 DN 132:227453  
 TI Sustained-release pharmaceutical tablets containing combination of  
 piperidinoalkanols and decongestants  
 IN Maclarens, David D.; Lefler, John R.; Minish, Sharon K.  
 PA Hoechst Marion Roussel, Inc., USA  
 SO U.S., 15 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1  
 PATENT NO. KIND DATE APPLICATION NO. DATE  
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PI US 6039974

A 20000321

US 1998-127478

19980731

PRAI US 1997-90105

P 19970826

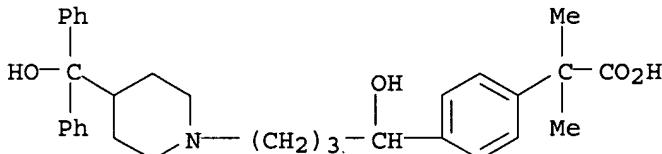
AB A pharmaceutical composition in the form of a bilayer tablet is provided comprising, (a) a first discrete zone made with formulation (A) which comprises, a therapeutically effective decongestant amount of a sympathomimetic drug, or a pharmaceutically acceptable salt thereof, in an amount of about 18% to about 39% by weight of formulation (A), and a first carrier base material, the first carrier base material comprising a mixture of; (i) carnauba wax in an amount of about 59% to about 81% by weight of formulation (A); and (ii) a suitable antiadherent in an amount of about 0.25% to about 2.00% by weight of formulation (A). Wherein said first carrier base material provides a sustained release of the sympathomimetic drug; and (b) a second discrete zone made with formulation (B) which comprises a therapeutically effective antihistaminic amount of a piperidinoalkanol, or a pharmaceutically acceptable salt thereof, in an amount of about 15% to about 30% by weight of formulation (B) and a second carrier base material, the second carrier base comprising a mixture of; (i) a cellulose diluent in an amount of about 27% to about 73% by weight of formulation (B); (ii) pregelatinized starch in an amount of about 15% to about 30% by weight of formulation (B); (iii) a suitable disintegrant in an amount of about 0.25% to about 6.00% by weight of formulation (B); and (iv) a suitable lubricant in an amount of about 0.25% to about 2.00% by weight of formulation (B); wherein said second carrier base material provides an immediate release of the piperidinoalkanol or the pharmaceutically acceptable salt thereof. A bilayer tablet contained 4 [4 [4 (Hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl] dimethylbenzeneacetic acid hydrochloride 60.00, microcryst. cellulose 26.00, pregelatinized starch 60.00, microcryst. cellulose (Avicel PH 102) 190.5, croscarmellose sodium 12.00, magnesium stearate 2.633 mg in the immediate-release layer; pseudoephedrine hydrochloride 120.0, carnauba wax 300.0, stearic acid flakes 4.899, colloidal silicon dioxide 1.065, and Opadry YS-17006 23.31 mg in the sustained-release layer.

IT 174523-28-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release pharmaceutical tablets containing combination of piperidinoalkanols and decongestants)

RN 174523-28-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, hydrate (9CI)  
(CA INDEX NAME)



● HCl

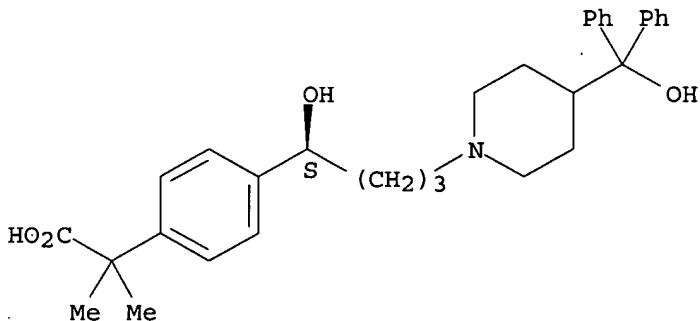
● x H<sub>2</sub>O

RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1998:676324 CAPLUS

DN 130:60560  
 TI Dose proportionality and comparison of single and multiple dose pharmacokinetics of fexofenadine (MDL 16455) and its enantiomers in healthy male volunteers  
 AU Robbins, Doris K.; Castles, Mark A.; Pack, David J.; Bhargava, Vijay O.; Weir, Scott J.  
 CS North America Pharmacokinetics and Biometrics Dep., Hoechst Marion Roussel, Inc., Kansas City, MO, USA  
 SO Biopharmaceutics & Drug Disposition (1998), 19(7), 455-463  
 CODEN: BDDID8; ISSN: 0142-2782  
 PB John Wiley & Sons Ltd.  
 DT Journal  
 LA English  
 AB The pharmacokinetics and dose proportionality of fexofenadine, a new non-sedating antihistamine, and its enantiomers were characterized after single and multiple-dose administration of its hydrochloride salt. A total of 24 healthy male volunteers ( $31 \pm 8$  yr) received oral doses of 20, 60, 120 and 240 mg fexofenadine HCl in a randomized, complete four-period cross-over design. Subjects received a single oral dose on day 1, and multiple oral doses every 12 h on day 3 through the morning on day 7. Treatments were separated by a 14-day washout period. Serial blood and urine samples were collected for up to 48 h following the first and last doses of fexofenadine HCl. Fexofenadine and its R(+) and S(-) enantiomers were analyzed in plasma and urine by validated HPLC methods. Fexofenadine pharmacokinetics were linear across the 20-120 mg dose range, but a small disproportionate increase in area under the plasma concentration-time curve (AUC) (<25%) was observed following the 240 mg dose. Single-dose pharmacokinetics of fexofenadine were predictive of steady-state pharmacokinetics. Urinary elimination of fexofenadine played a minor role (10%) in the disposition of the drug. A 63.37 steady-state ratio of R(+) and S(-) fexofenadine was observed in plasma. This ratio was essentially constant across time and dose. R(+) and S(-) fexofenadine were eliminated into urine in equal rates and quantities. All doses of fexofenadine HCl were well tolerated after single and multiple-dose administration.  
 IT 138515-56-7 138515-57-8  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (fexofenadine and its enantiomers pharmacokinetics in humans)  
 RN 138515-56-7 CAPLUS  
 CN Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

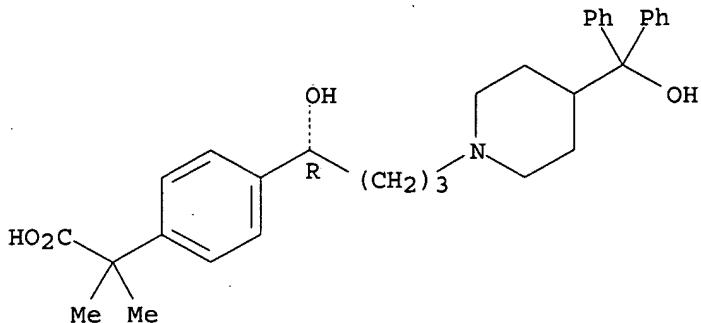
Absolute stereochemistry. Rotation (-).



● HCl

RN 138515-57-8 CAPLUS  
 CN Benzeneacetic acid, 4-[(1R)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

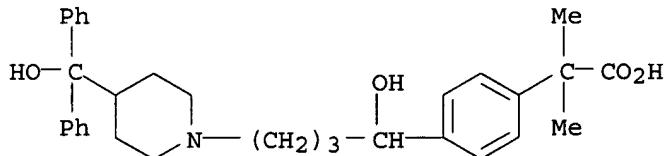


● HCl

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

| L9  | ANSWER 15 OF 18 CAPLUS  | COPYRIGHT 2007 ACS on STN |                 |          |
|---|---|---------------------------|-----------------|----------|
| AN  | 1996:702047   | CAPLUS                    |                 |          |
| DN  | 126:11543   |                           |                 |          |
| TI  | Oral pharmaceutical composition of piperidinoalkanol compounds in solution form |                           |                 |          |
| IN  | Ortyl, Thomas T.; Skultety, Paul F.; Hurst, Gail H.                             |                           |                 |          |
| PA  | Hoechst Marion Roussel, Inc., USA   |                           |                 |          |
| SO  | U.S., 6 pp.   |                           |                 |          |
|   | CODEN: USXXAM   |                           |                 |          |
| DT  | Patent  |                           |                 |          |
| LA  | English   |                           |                 |          |
| FAN.CNT 1   |   |                           |                 |          |
| PATENT NO.  | KIND  | DATE                      | APPLICATION NO. | DATE     |
| -----   | -----   | -----                     | -----           | -----    |
| PI US 5574045   | A   | 19961112                  | US 1995-469392  | 19950606 |
| CA 2218643  | A1  | 19961212                  | CA 1996-2218643 | 19960430 |
| CA 2218643  | C   | 20011211                  |                 |          |
| WO 9639139  | A1  | 19961212                  | WO 1996-US5968  | 19960430 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI |   |                           |                 |          |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML  |   |                           |                 |          |
| AU 9656695  | A   | 19961224                  | AU 1996-56695   | 19960430 |
| AU 707218   | B2  | 19990708                  |                 |          |
| EP 831820   | A1  | 19980401                  | EP 1996-913862  | 19960430 |
| EP 831820   | B1  | 20031217                  |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI   |   |                           |                 |          |
| CN 1187125  | A   | 19980708                  | CN 1996-194495  | 19960430 |
| HU 9802096  | A2  | 19990128                  | HU 1998-2096    | 19960430 |
| JP 11506115   | T   | 19990602                  | JP 1996-500501  | 19960430 |
| AT 256465   | T   | 20040115                  | AT 1996-913862  | 19960430 |
| PT 831820   | T   | 20040531                  | PT 1996-913862  | 19960430 |
| ES 2211954  | T3  | 20040716                  | ES 1996-913862  | 19960430 |

ZA 9604517 A 19961209 ZA 1996-4517 19960531  
 TW 460283 B 20011021 TW 1996-85106573 19960601  
 IL 118525 A 20010724 IL 1996-118525 19960602  
 NO 9705680 A 19980205 NO 1997-5680 19971205  
 NO 318029 B1 20050124  
 PRAI US 1995-469392 A 19950606  
 WO 1996-US5968 W 19960430  
 OS MARPAT 126:11543  
 AB The present invention provides an oral pharmaceutical composition in solution form, comprising (a) a therapeutically effective amount of a piperidinoalkanol compound or a pharmaceutically acceptable salt thereof and (b) a suitable solvent system comprising propylene glycol and glacial acetic acid, to provide efficient and immediate absorption and bioavailability. The piperidinoalkanol compds. are known as antihistamines, allergy inhibitors, and bronchodilators (no data). The preferred compound is 4-[4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]- $\alpha$ , $\alpha$ -dimethylbenzeneacetic acid·HCl (I). I 1.125 g was dissolved in 40 mL of a solvent system containing 98.5% propylene glycol and 1.5% glacial acetic acid and after dissoln. was complete, the total volume of the solution was made to 50 mL to provide an oral composition in solution form with a strength of 22.5 mg/mL.  
 IT 174523-28-5  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral solns. containing piperidinoalkanols)  
 RN 174523-28-5 CAPLUS  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, hydrate (9CI)  
 (CA INDEX NAME)



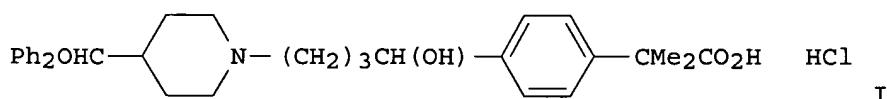
● HCl

● x H<sub>2</sub>O

L9 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1996:656431 CAPLUS  
 DN 125:285021  
 TI Pharmaceutical composition for piperidinoalkanol compounds  
 IN Ortyl, Thomas T.; Skultety, Paul F.; Mitchell, Kristen C.; Phadke, Deepak S.; Attarchi, Faraneh; Pierce, Marguerite L.; Schoeneman, Aaron W.; Schnitz, Joseph M.  
 PA Hoechst Marion Roussel, Inc., USA  
 SO PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1  
 PATENT NO. KIND DATE APPLICATION NO. DATE  
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 PI WO 9626726 A1 19960906 WO 1996-US1253 19960126

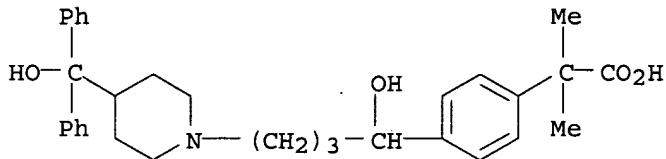
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 LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,  
 SG, SI  
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 NE, SN  
 CA 2213700 A1 19960906 CA 1996-2213700 19960126  
 CA 2213700 C 20020402  
 AU 9649098 A 19960918 AU 1996-49098 19960126  
 AU 701042 B2 19990121  
 EP 812195 A1 19971217 EP 1996-905292 19960126  
 EP 812195 B1 20021030  
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 CN 1176599 A 19980318 CN 1996-192200 19960126  
 CN 1090935 B 20020918  
 JP 11501028 T 19990126 JP 1996-526257 19960126  
 HU 9802086 A2 19990128 HU 1998-2086 19960126  
 AT 226819 T 20021115 AT 1996-905292 19960126  
 ES 2181868 T3 20030301 ES 1996-905292 19960126  
 PT 812195 T 20030331 PT 1996-905292 19960126  
 ZA 9601389 A 19960828 ZA 1996-1389 19960221  
 IL 117237 A 20010111 IL 1996-117237 19960223  
 TW 460284 B 20011021 TW 1996-85102075 19960223  
 IL 134769 A 20020210 IL 1996-134769 19960223  
 IL 134770 A 20020210 IL 1996-134770 19960223  
 IL 134771 A 20020210 IL 1996-134771 19960223  
 IL 134772 A 20020210 IL 1996-134772 19960223  
 US 5738872 A 19980414 US 1996-742166 19961101  
 FI 9703518 A 19970827 FI 1997-3518 19970827  
 NO 9703938 A 19971028 NO 1997-3938 19970827  
 US 5855912 A 19990105 US 1997-943460 19971003  
 US 5932247 A 19990803 US 1997-948005 19971009  
 US 6113942 A 20000905 US 1998-157841 19980921  
 US 2001022973 A1 20010920 US 2001-845966 20010430  
 US 2002106405 A1 20020808 US 2002-39798 20020104  
 US 2003203020 A1 20031030 US 2003-347953 20030121  
 JP 2004292459 A 20041021 JP 2004-170680 20040609  
 PRAI US 1995-395952 A 19950228  
 US 1995-552287 A 19951212  
 JP 1996-526257 A3 19960126  
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 IL 1996-117237 A3 19960223  
 US 1996-742166 A3 19961101  
 US 1997-943460 A3 19971003  
 US 1998-157841 A1 19980921  
 US 2000-586743 A1 20000602  
 US 2001-845966 B1 20010430  
 US 2002-39798 B1 20020104

GI



AB The invention provides a pharmaceutical composition in solid unit dosage form, comprising, (a) a therapeutically effective amount of a piperidinoalkanol compound (I) or a pharmaceutically acceptable salt thereof; and, (b) at least one inert ingredient.

IT 174523-28-5  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical composition for piperidinoalkanol compds.)  
 RN 174523-28-5 CAPLUS  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride, hydrate (9CI)  
 (CA INDEX NAME)



● HCl

● x H<sub>2</sub>O

L9 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1996:170750 CAPLUS  
 DN 124:202030  
 TI Processes for preparing anhydrous and hydrate of antihistaminic piperidine derivatives (polymorphs and pseudomorphs).  
 IN Henton, Daniel R.; McCarty, Frederick J.; Tripp, Susan I.; Dewitt, Jill E.  
 PA Marion Merrell Dow Inc., USA  
 SO PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2

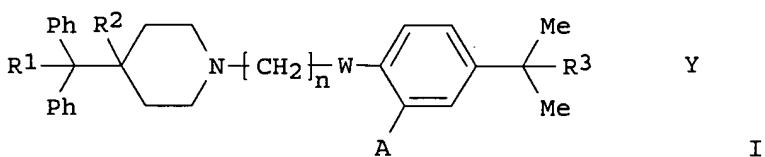
DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
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|    | CA 2189007   | A1   | 19951123 | CA 1995-2189007 | 19950428 |
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|    | AU 9524265   | A    | 19951205 | AU 1995-24265   | 19950428 |
|    | AU 693892  | B2   | 19980709 |                 |          |
|    | EP 766668  | A1   | 19970409 | EP 1995-918278  | 19950428 |
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|    | CN 1148849   | A    | 19970430 | CN 1995-193122  | 19950428 |
|    | HU 76134   | A2   | 19970630 | HU 1996-3167    | 19950428 |
|    | JP 10500134  | T    | 19980106 | JP 1995-529654  | 19950428 |
|    | EP 1178041   | A1   | 20020206 | EP 2001-124314  | 19950428 |
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|    | AT 220667  | T    | 20020815 | AT 1995-918278  | 19950428 |
|    | JP 2002255935  | A    | 20020911 | JP 2002-55432   | 19950428 |

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| JP   | 2002308850        | A  | 20021023 | JP | 2002-55433    | 19950428 |
| JP   | 2002316978        | A  | 20021031 | JP | 2002-55435    | 19950428 |
| PT   | 766668            | T  | 20021129 | PT | 1995-918278   | 19950428 |
| ES   | 2176329           | T3 | 20021201 | ES | 1995-918278   | 19950428 |
| CN   | 1623985           | A  | 20050608 | CN | 2003-10100765 | 19950428 |
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| IL   | 134917            | A  | 20010724 | IL | 1995-134917   | 19950516 |
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| US   | 2001012896        | A1 | 20010809 | US | 2001-803389   | 20010309 |
| US   | 2001014741        | A1 | 20010816 | US | 2001-803390   | 20010309 |
| US   | 2001025106        | A1 | 20010927 | US | 2001-803476   | 20010309 |
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|      | CA 1995-2189007   | A3 | 19950428 |    |               |          |
|      | EP 1995-918278    | A3 | 19950428 |    |               |          |
|      | JP 1995-529654    | A3 | 19950428 |    |               |          |
|      | WO 1995-US4942    | W  | 19950428 |    |               |          |
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|      | US 1995-439673    | B1 | 19950512 |    |               |          |
|      | IL 1995-113747    | A3 | 19950516 |    |               |          |
|      | US 1995-442460    | B1 | 19950516 |    |               |          |
|      | US 1997-815640    | B1 | 19970313 |    |               |          |
|      | US 1997-815641    | B1 | 19970313 |    |               |          |
|      | US 1997-815642    | B1 | 19970313 |    |               |          |
|      | US 1997-818087    | B1 | 19970314 |    |               |          |
|      | US 1997-899843    | B1 | 19970724 |    |               |          |
|      | US 1998-213161    | B1 | 19981217 |    |               |          |
|      | US 1998-213162    | A1 | 19981217 |    |               |          |
|      | US 1998-213554    | B1 | 19981217 |    |               |          |
|      | US 1998-213565    | B1 | 19981217 |    |               |          |
|      | US 1999-276069    | B1 | 19990325 |    |               |          |
|      | US 2000-653082    | A1 | 20000831 |    |               |          |
|      | US 2001-803389    | A1 | 20010309 |    |               |          |
|      | US 2001-803390    | B1 | 20010309 |    |               |          |
|      | US 2001-803476    | A1 | 20010309 |    |               |          |
|      | US 2002-125094    | A1 | 20020418 |    |               |          |
|      | US 2002-128926    | B1 | 20020424 |    |               |          |
| OS   | MARPAT 124:202030 |    |          |    |               |          |
| GI   |                   |    |          |    |               |          |



AB Polymorphic and pseudomorphic title compound I [R1 = H, OH; R2 = H; R1R2 = bond; R3 = CH2OH, COOH, COOalkyl; W = CH(OH), CO; A = H, OH; Y = acid; n = 1-5], useful as antihistamines, antiallergic agents and bronchodilators, were prepared. Treatment of I [R1 = OH; R2 = H; R3 = COOEt; W = CO; A = H; Y = HCl; n = 3] in MeOH with NaBH4 and NaOH/H2O afforded I.xH2O [R1 = OH; R2 = H; R3 = COOH; W = CH(OH); A = H; Y = HCl; n = 3] which was transformed into its anhydrous form by treatment with MeCOEt. Compds. I [R1 = OH; R2 = H; R3 = COOH; W = CO, CH(OH); A = H; Y = HCl; n = 3], polymorphs and pseudomorphs, are effective at 0.01-20 mg/kg per day.

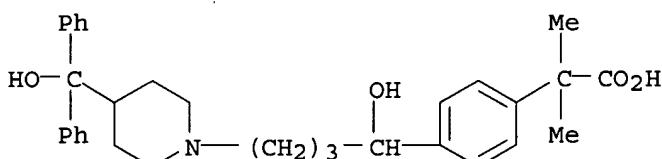
IT 174523-28-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(processes for preparing anhydrous and hydrate of antihistaminic piperidine derivs. (polymorphs and pseudomorphs).)

RN 174523-28-5 CAPLUS

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, hydrate (9CI)  
(CA INDEX NAME)



● HCl

● x H2O

L9 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1992:50726 CAPLUS

DN 116:50726

TI Direct enantiomeric separation of terfenadine and its major acid metabolite by high-performance liquid chromatography, and the lack of stereoselective terfenadine enantiomer biotransformation in man

AU Chan, Kenneth Y.; George, Ronald C.; Chen, Teng Man; Okerholm, Richard A.  
CS Marion Merrell Dow Inc., Cincinnati, OH, 45215-6300, USA

SO Journal of Chromatography (1991), 571(1-2), 291-7  
CODEN: JOCRAM; ISSN: 0021-9673

DT Journal

LA English

AB Direct enantiomeric separation of terfenadine and its major acid metabolite was achieved by using two different chiral stationary phase columns with two different mobile phase systems. Further, the enantiomeric composition of the human urinary acid metabolite has been determined, indicating a nonstereoselective biotransformation in man.

IT 138515-56-7, (-)-(S)-MDL 16455 138515-57-8, (+)-(R)-MDL  
16455

RL: PROC (Process)

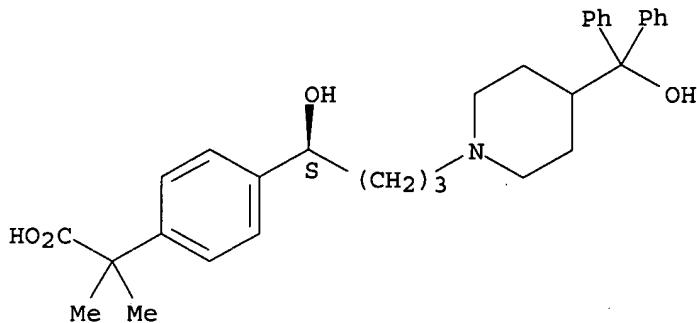
(enantiomeric separation of, as terfenadine metabolite, in human urine by HPLC)

RN 138515-56-7 CAPLUS

CN Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-

piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

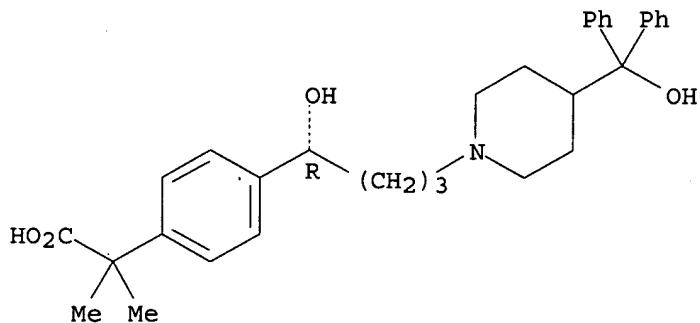


● HCl

RN 138515-57-8 CAPLUS

CN Benzeneacetic acid, 4-[(1R)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

=> STR 153439-40-8

WARNING. SINGLE ATOM FRAGMENTS NOT INCLUDED IN MODEL:  
C1  
:END

L2 STRUCTURE CREATED

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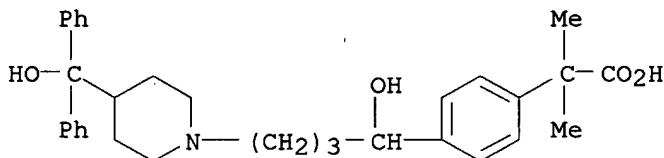
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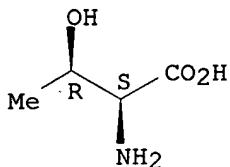
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CM 1



CM 2

Absolute stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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L3 ANSWER 1 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 917472-26-5 REGISTRY  
ED Entered STN: 16 Jan 2007  
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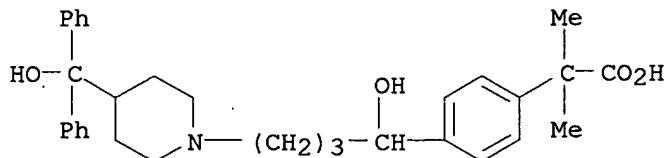
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LC STN Files: CA, CAPLUS

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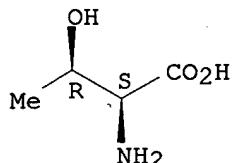


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CRN 72-19-5

CMF C4 H9 N O3

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)

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L3 ANSWER 2 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN

RN 885946-90-7 REGISTRY

ED Entered STN: 29 May 2006

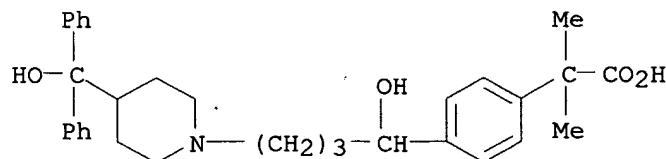
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, dihydrate (9CI) (CA INDEX NAME)

MF C32 H39 N O4 . 2 H2O

SR CA

LC STN Files: CA, CAPLUS

CRN (83799-24-0)



● 2 H<sub>2</sub>O

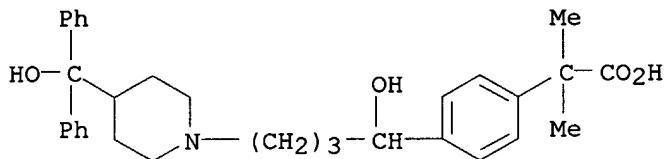
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L3 ANSWER 3 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 885946-89-4 REGISTRY  
ED Entered STN: 29 May 2006  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, compd. with methanol (1:2) (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . 2 C H4 O  
SR CA  
LC STN Files: CA, CAPLUS

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CRN 83799-24-0  
CMF C32 H39 N O4



CM 2

CRN 67-56-1  
CMF C H4 O

H3C-OH

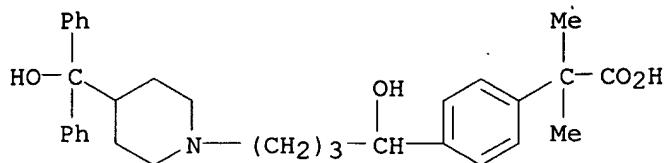
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L3 ANSWER 4 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 870994-52-8 REGISTRY  
ED Entered STN: 03 Jan 2006  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, monohydrochloride, compd. with acetonitrile (1:1) (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . C2 H3 N . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

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CRN 83799-24-0  
CMF C32 H39 N O4



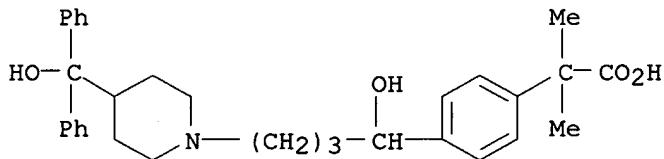
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CRN 75-05-8  
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H<sub>3</sub>C—C≡N

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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 865811-63-8 REGISTRY  
 ED Entered STN: 21 Oct 2005  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrate (9CI) (CA INDEX NAME)  
 MF C32 H39 N O4 . x H<sub>2</sub>O  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 CRN (83799-24-0)



● x H<sub>2</sub>O

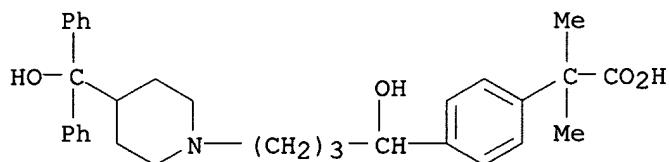
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L3 ANSWER 6 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 863713-87-5 REGISTRY  
 ED Entered STN: 23 Sep 2005  
 CN β-Cyclodextrin, compd. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethylbenzeneacetic acid (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C42 H70 O35 . x C32 H39 N O4  
 SR CA  
 LC STN Files: CA, CAPLUS

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CRN 83799-24-0

CMF C32 H39 N O4

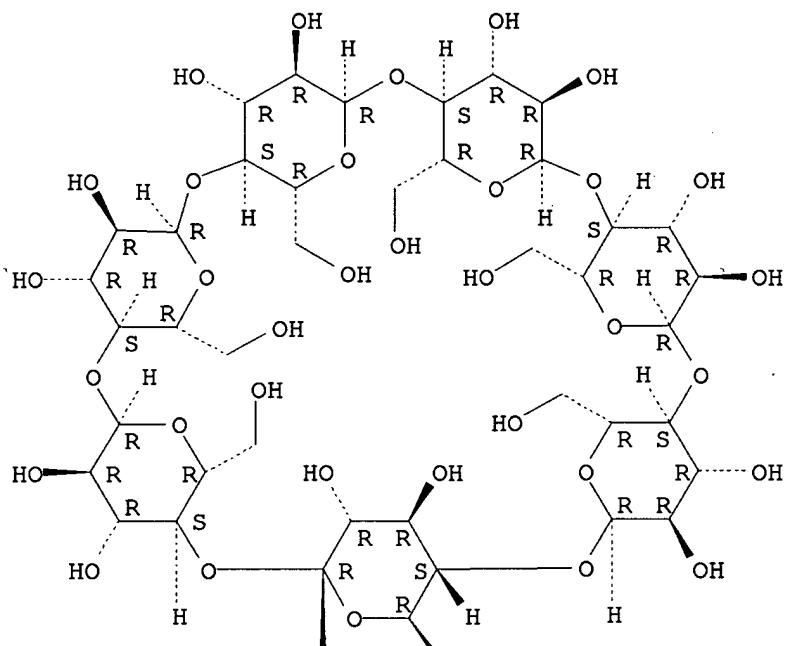


CM 2

CRN 7585-39-9  
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)  
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L3 ANSWER 7 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 857085-75-7 REGISTRY  
ED Entered STN: 26 Jul 2005  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[(1R,2S)-2-methylpropyl]phenyl]-1-

piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, mixt. with  
( $\alpha$ S)- $\alpha$ -[(1S)-1-(methylamino)ethyl]benzenemethanol (9CI) (CA  
INDEX NAME)

FS STEREOSEARCH

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CI MXS

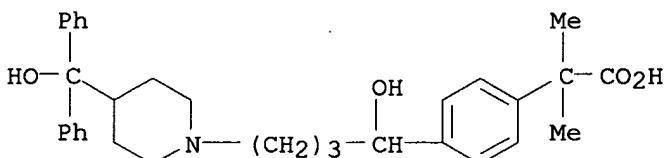
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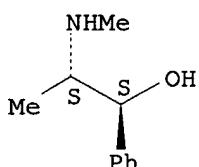


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CMF C10 H15 N O

Absolute stereochemistry. Rotation (+).



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN

RN 847360-79-6 REGISTRY

ED Entered STN: 28 Mar 2005

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, acetate (salt) (9CI) (CA INDEX NAME)

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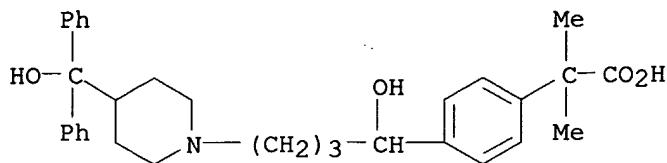
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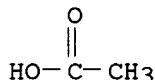
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CM 2

CRN 64-19-7  
CMF C2 H4 O2

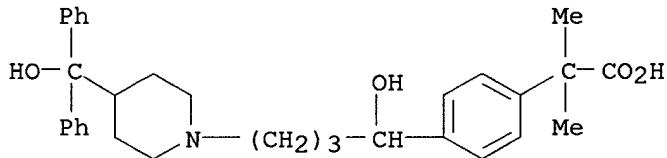


1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 9 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 847360-78-5 REGISTRY  
ED Entered STN: 28 Mar 2005  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[(4-hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, acetate (salt), dihydrate (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . C2 H4 O2 . 2 H2 O  
SR CA  
LC STN Files: CA, CAPLUS

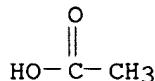
CM 1

CRN 83799-24-0  
CMF C32 H39 N O4



CM 2

CRN 64-19-7  
CMF C2 H4 O2

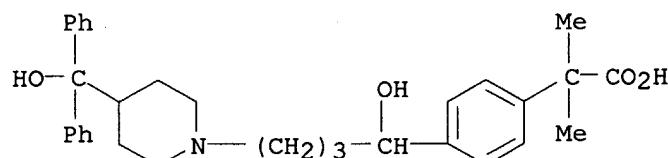


1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 10 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 847360-77-4 REGISTRY  
ED Entered STN: 28 Mar 2005  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, acetate (salt), monohydrate (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . C2 H4 O2 . H2 O  
SR CA  
LC STN Files: CA, CAPLUS

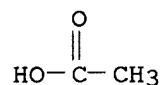
CM 1

CRN 83799-24-0  
CMF C32 H39 N O4



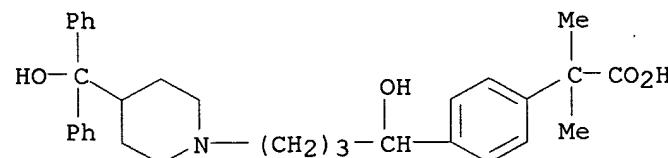
CM 2

CRN 64-19-7  
CMF C2 H4 O2



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 11 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 847360-76-3 REGISTRY  
ED Entered STN: 28 Mar 2005  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, monohydrate (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . H2 O  
SR CA  
LC STN Files: CA, CAPLUS  
CRN (83799-24-0)



● H<sub>2</sub>O

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

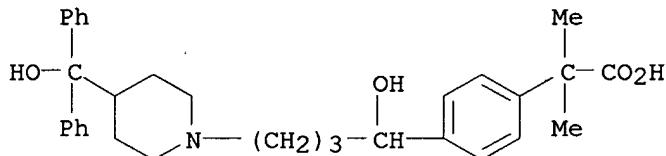
L3 ANSWER 12 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 616242-78-5 REGISTRY  
ED Entered STN: 13 Nov 2003  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, mixt. with ( $\alpha$ S)- $\alpha$ -[(1S)-1-(methylamino)ethyl]benzenemethanol hydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Allegra D  
FS STEREOSEARCH  
MF C32 H39 N O4 . C10 H15 N O . 2 Cl H  
CI MXS  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H

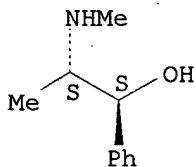


● HCl

CM 2

CRN 345-78-8 (90-82-4)  
CMF C10 H15 N O . Cl H

Absolute stereochemistry. Rotation (+).



● HCl

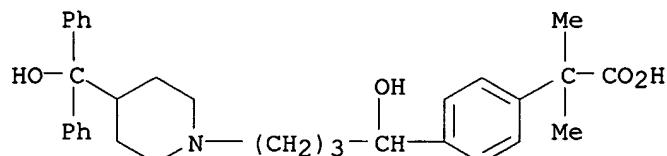
4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 13 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 586349-05-5 REGISTRY  
ED Entered STN: 16 Sep 2003

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, nitrate (salt) (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . H N O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

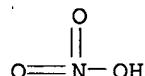
CM 1

CRN 83799-24-0  
CMF C32 H39 N O4



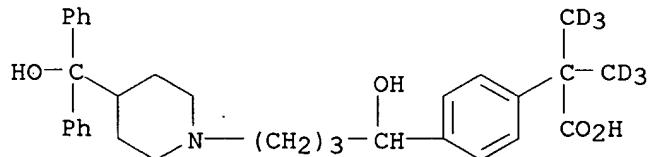
CM 2

CRN 7697-37-2  
CMF H N O3



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

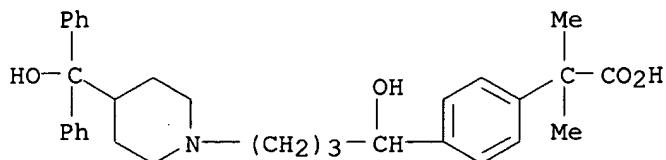
L3 ANSWER 14 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 548783-71-7 REGISTRY  
ED Entered STN: 16 Jul 2003  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -di(methyl-d3)- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN Fexofenadine-d6  
MF C32 H33 D6 N O4  
SR CA  
LC STN Files: CA, CAPLUS, CHEMCATS



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 15 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 524713-23-3 REGISTRY  
ED Entered STN: 03 Jun 2003

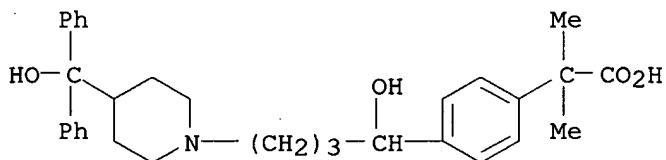
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, potassium salt (9CI) (CA INDEX NAME)  
 MF C32 H39 N O4 . x K  
 SR CA  
 LC STN Files: CA, CAPLUS  
 CRN (83799-24-0)



● x K

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 16 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 524713-22-2 REGISTRY  
 ED Entered STN: 03 Jun 2003  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, sodium salt (9CI) (CA INDEX NAME)  
 MF C32 H39 N O4 . x Na  
 SR CA  
 LC STN Files: CA, CAPLUS  
 CRN (83799-24-0)



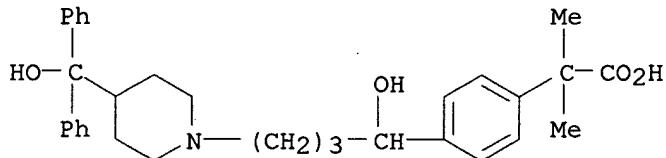
● x Na

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 17 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 498547-73-2 REGISTRY  
 ED Entered STN: 13 Mar 2003  
 CN 2-Propanaminium, N-methyl-N-(1-methylethyl)-N-[2-[(9H-xanthen-9-ylcarbonyl)oxy]ethyl]-, bromide, mixt. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethylbenzeneacetic acid hydrochloride (9CI) (CA INDEX NAME)  
 MF C32 H39 N O4 . C23 H30 N O3 . Br . Cl H  
 CI MXS  
 SR CA  
 LC STN Files: CA, CAPLUS

CM 1

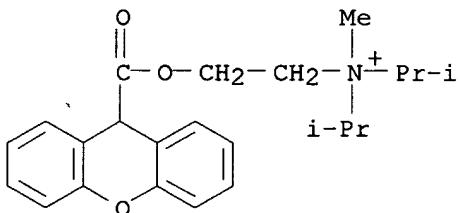
CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 50-34-0 (298-50-0)  
CMF C23 H30 N O3 . Br



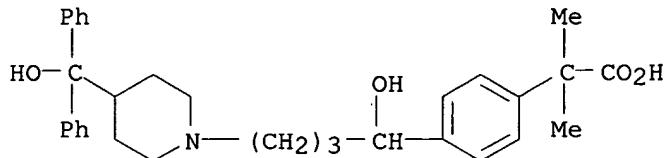
● Br<sup>-</sup>

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 18 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 498547-72-1 REGISTRY  
ED Entered STN: 13 Mar 2003  
CN Ethanaminium, N,N-diethyl-2-[ (hydroxydiphenylacetyl)oxy]-N-methyl-, bromide, mixt. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethylbenzeneacetic acid hydrochloride (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . C21 H28 N O3 . Br . Cl H  
CI MXS  
SR CA  
LC STN Files: CA, CAPLUS

CM 1

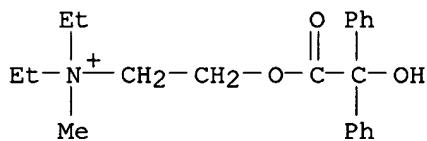
CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 3166-62-9 (13473-61-5)  
CMF C21 H28 N O3 . Br



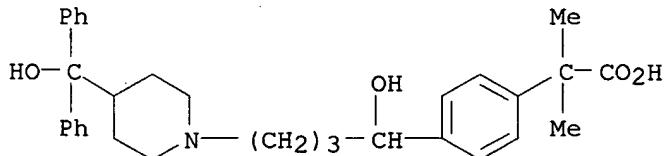
● Br<sup>-</sup>

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 19 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 498547-71-0 REGISTRY  
ED Entered STN: 13 Mar 2003  
CN Benzenepropanaminium,  $\gamma$ -(aminocarbonyl)-N-methyl-N,N-bis(1-methylethyl)- $\gamma$ -phenyl-, iodide, mixt. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethylbenzeneacetic acid hydrochloride (9CI) (CA INDEX NAME)  
MF C32 H39 N O4 . C23 H33 N2 O . Cl H . I  
CI MXS  
SR CA  
LC STN Files: CA, CAPLUS

CM 1

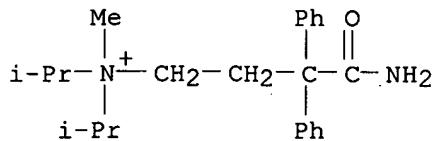
CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 71-81-8 (7492-32-2)  
CMF C23 H33 N2 O . I



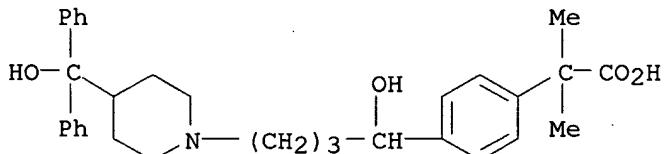
● I-

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 20 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 498547-70-9 REGISTRY  
ED Entered STN: 13 Mar 2003  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, mixt. with ( $\alpha$ S)-(1 $\alpha$ ,2 $\beta$ ,4 $\beta$ ,5 $\alpha$ ,7 $\beta$ )-9-methyl-3-oxa-9-azatricyclo[3.3.1.0 $2,4$ ]non-7-yl  $\alpha$ -(hydroxymethyl)benzeneacetate (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C32 H39 N O4 . Cl7 H21 N O4 . Cl H  
CI MXS  
SR CA  
LC STN Files: CA, CAPLUS

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H

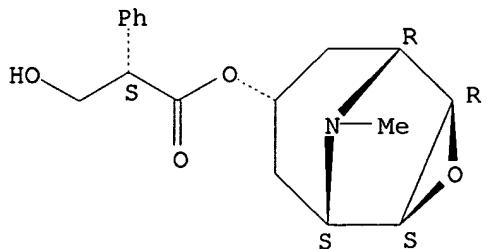


● HCl

CM 2

CRN 51-34-3  
CMF C17 H21 N O4

Absolute stereochemistry. Rotation (-).

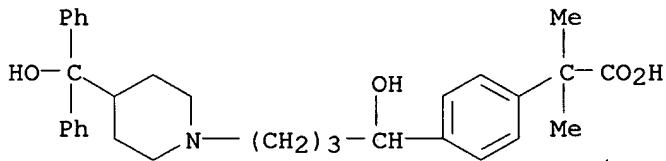


1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 21 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 498547-69-6 REGISTRY  
 ED Entered STN: 13 Mar 2003  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha,\alpha$ -dimethyl-, hydrochloride, mixt. with (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl  $\alpha$ -hydroxybenzeneacetate (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H39 N O4 . C16 H21 N O3 . Cl H  
 CI MXS  
 SR CA  
 LC STN Files: CA, CAPLUS

CM 1

CRN 153439-40-8 (83799-24-0)  
 CMF C32 H39 N O4 . Cl H

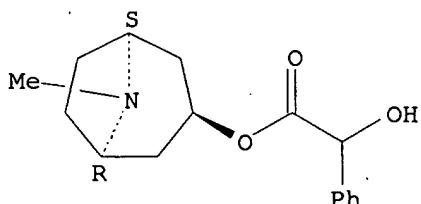


● HCl

CM 2

CRN 87-00-3  
 CMF C16 H21 N O3

Relative stereochemistry.

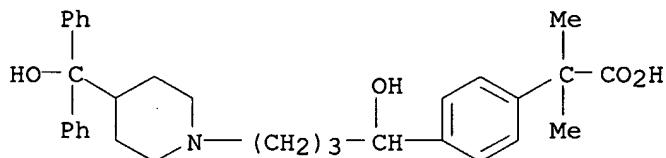


1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 22 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 498547-68-5 REGISTRY  
ED Entered STN: 13 Mar 2003  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, mixt. with (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl  $\alpha$ -(hydroxymethyl)benzeneacetate (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C32 H39 N O4 . C17 H23 N O3 . Cl H  
CI MXS  
SR CA  
LC STN Files: CA, CAPLUS

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H

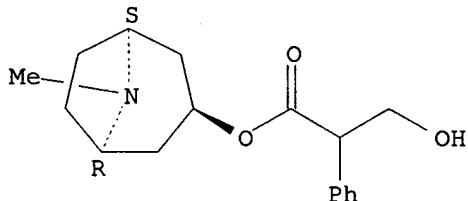


● HCl

CM 2

CRN 51-55-8  
CMF C17 H23 N O3

Relative stereochemistry.



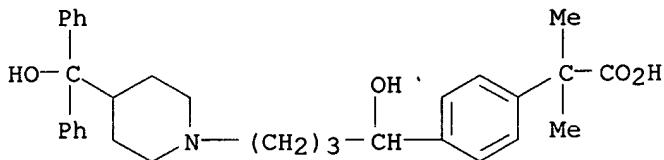
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 23 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 470671-12-6 REGISTRY  
ED Entered STN: 06 Nov 2002  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, compd. with cyclohexane (9CI) (CA INDEX NAME)  
DR 491600-66-9  
MF C32 H39 N O4 . x C6 H12 . Cl H

SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 110-82-7  
CMF C6 H12

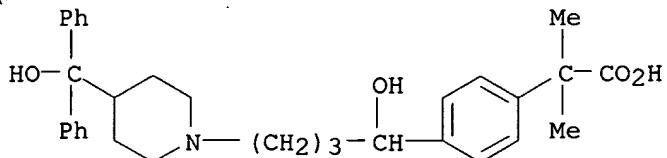


2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 24 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 470671-11-5 REGISTRY  
ED Entered STN: 06 Nov 2002  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride, compd. with 2-methoxy-2-methylpropane (9CI) (CA INDEX NAME)  
DR 491600-65-8  
MF C32 H39 N O4 . x C5 H12 O . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N O4 . Cl H



● HCl

CM 2

CRN 1634-04-4  
CMF C5 H12 O

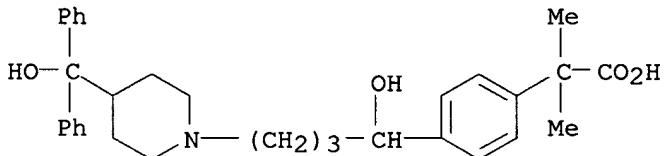
$$t\text{-Bu-O-Me}$$

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 25 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 470671-10-4 REGISTRY  
ED Entered STN: 06 Nov 2002  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, compd. with ethyl acetate (9CI) (CA INDEX NAME)  
DR 491600-67-0  
MF C32 H39 N O4 . x C4 H8 O2 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 153439-40-8 (83799-24-0)  
CMF C32 H39 N 04 . Cl H



● HCl

CM 2

CRN 141-78-6  
CMF C4 H8 O2

Et—O—Ac

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 26 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 181299-81-0 REGISTRY  
ED Entered STN: 26 Sep 1996  
CN  $\beta$ -Cyclodextrin, compd. with 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethylbenzeneacetic acid (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, compd. with  $\beta$ -cyclodextrin (1:1) (9CI)

FS STEREOSEARCH

MF C42 H70 O35 . C32 H39 N O4

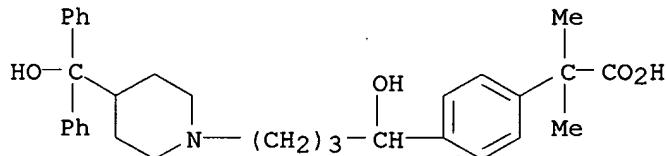
SR CA

LC STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH

CM 1

CRN 83799-24-0

CMF C32 H39 N O4

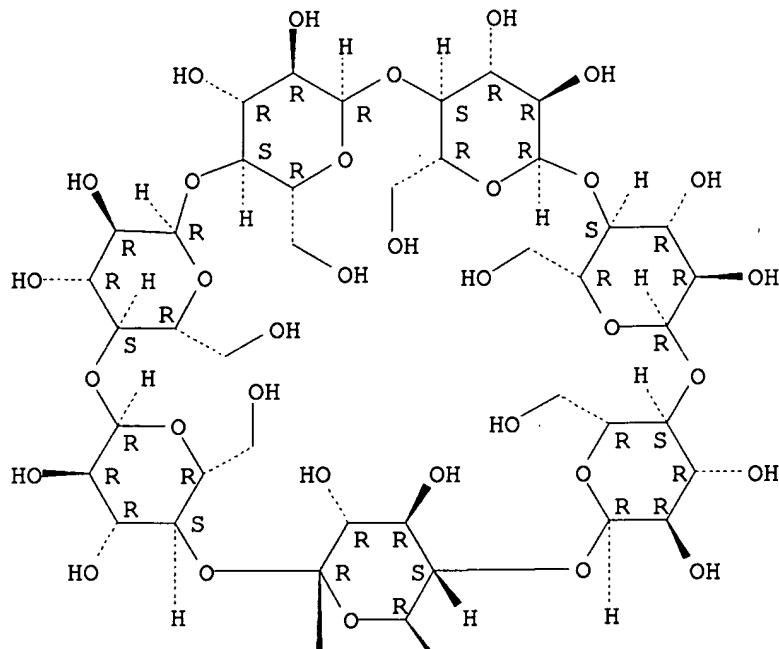


CM 2

CRN 7585-39-9

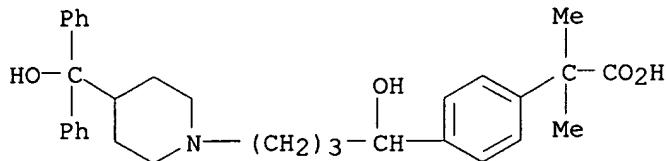
CMF C42 H70 O35

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 27 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 174523-28-5 REGISTRY  
 ED Entered STN: 26 Mar 1996  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, hydrate (9CI)  
 (CA INDEX NAME)  
 MF C32 H39 N O4 . Cl H . x H2 O  
 SR CA  
 LC STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH, USPAT2, USPATFULL  
 CRN (83799-24-0)



● HCl

● x H<sub>2</sub>O

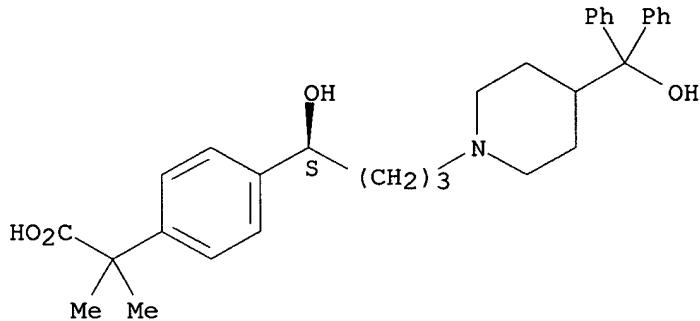
8 REFERENCES IN FILE CA (1907 TO DATE)  
8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 28 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 174483-05-7 REGISTRY  
 ED Entered STN: 22 Mar 1996  
 CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [R-(R\*,R\*)]-, compd.  
     with (S)-4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-  
     α,α-dimethylbenzenoacetic acid (1:1) (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-  
     piperidinyl]butyl]-α,α-dimethyl-, (S)-, [R-(R\*,R\*)]-2,3-bis[(4-  
     methylbenzoyl)oxy]butanedioate (1:1) (salt) (9CI)  
 FS STEREOSEARCH  
 MF C32 H39 N O4 . C20 H18 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH, USPATFULL

CM 1

CRN 139965-11-0  
 CMF C32 H39 N O4

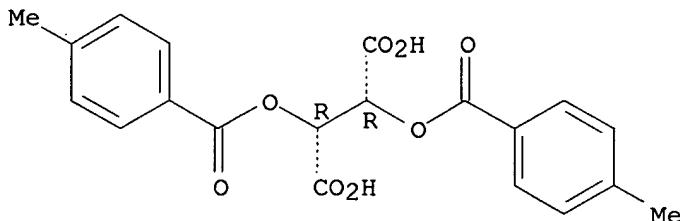
Absolute stereochemistry. Rotation (-).



CM 2

CRN 32634-66-5  
 CMF C20 H18 O8

Absolute stereochemistry. Rotation (-).



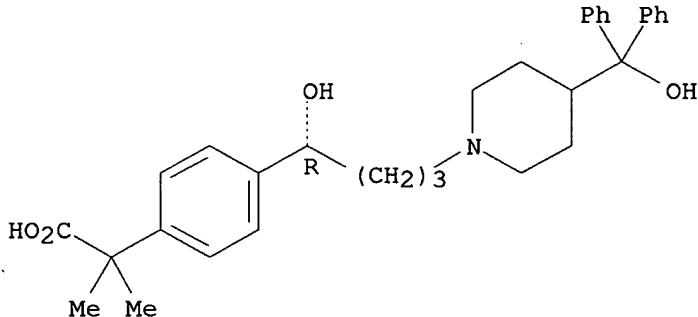
1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 29 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 174483-04-6 REGISTRY  
 ED Entered STN: 22 Mar 1996  
 CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [S-(R\*,R\*)]-, compd.  
 with (R)-4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-  
 α,α-dimethylbenzenoacetic acid (1:1) (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-  
 piperidinyl]butyl]-α,α-dimethyl-, (R)-, [S-(R\*,R\*)]-2,3-bis[(4-  
 methylbenzoyl)oxy]butanedioate (1:1) (salt) (9CI)  
 FS STEREOSEARCH  
 MF C32 H39 N O4 . C20 H18 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH, USPATFULL

CM 1

CRN 139965-10-9  
 CMF C32 H39 N O4

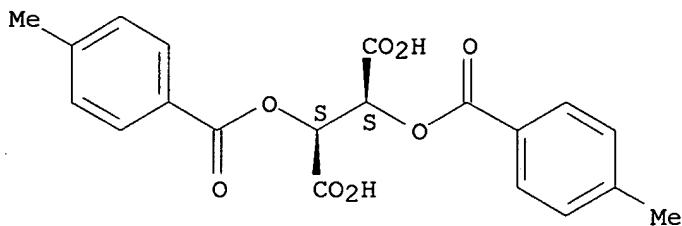
Absolute stereochemistry. Rotation (+).



CM 2

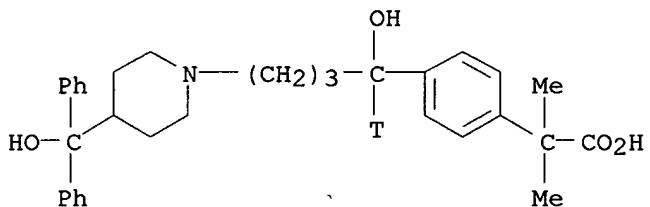
CRN 32634-68-7  
 CMF C20 H18 O8

Absolute stereochemistry. Rotation (+).



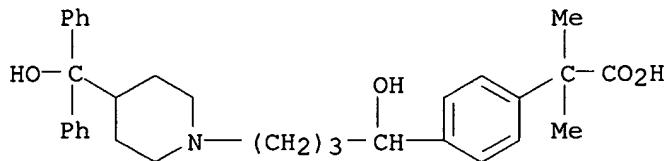
1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 30 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 166759-34-8 REGISTRY  
 ED Entered STN: 24 Aug 1995  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl-1-t]-α,α-dimethyl- (9CI) (CA INDEX NAME)  
 MF C32 H38 N O4 T  
 SR CA  
 LC STN Files: CA, CAPLUS



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 31 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 153439-40-8 REGISTRY  
 ED Entered STN: 04 Mar 1994  
 CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN Allegra  
 CN Fexofenadine hydrochloride  
 CN MDL 16455A  
 CN Telfast  
 CN Telfast BD  
 DR 138452-21-8  
 MF C32 H39 N O4 . Cl H  
 CI COM  
 SR CA  
 LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IMSCOSEARCH, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, MSDS-OHS, PATDPASPC, PHAR, PIRA, PROMT, PROUSDDR, PS, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)  
 CRN (83799-24-0)



● HCl

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

173 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 173 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 32 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN

RN 139965-11-0 REGISTRY

ED Entered STN: 27 Mar 1992

CN Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-(4-hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-(4-hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl-, (S)-

OTHER NAMES:

CN (S)-Fexofenadine

FS STEREOSEARCH

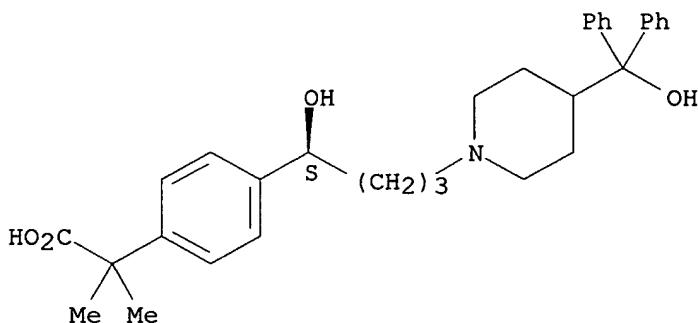
MF C32 H39 N O4

CI COM

SR CA

LC STN Files: ADISINSIGHT, CA, CAPLUS, IMSPATENTS, IMSRESEARCH, USPATFULL

Absolute stereochemistry. Rotation (-).



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

13 REFERENCES IN FILE CA (1907 TO DATE)  
 13 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 33 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN

RN 139965-10-9 REGISTRY

ED Entered STN: 27 Mar 1992

CN Benzeneacetic acid, 4-[(1R)-1-hydroxy-4-(4-hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, (R)-

OTHER NAMES:

CN (R)-Fexofenadine

FS STEREOSEARCH

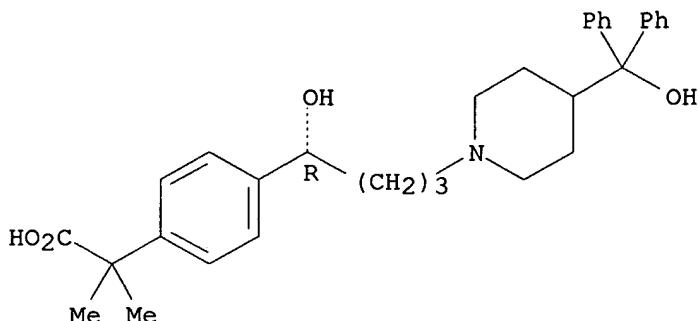
MF C32 H39 N O4

CI COM

SR CA

LC STN Files: ADISINSIGHT, CA, CAPLUS, IMSPATENTS, IMSRESEARCH, USPATFULL

Absolute stereochemistry. Rotation (+).



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

12 REFERENCES IN FILE CA (1907 TO DATE)

12 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 34 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN

RN 138515-57-8 REGISTRY

ED Entered STN: 24 Jan 1992

CN Benzeneacetic acid, 4-[(1R)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, (R)-

OTHER NAMES:

CN (+)-(R)-MDL 16455A

FS STEREOSEARCH

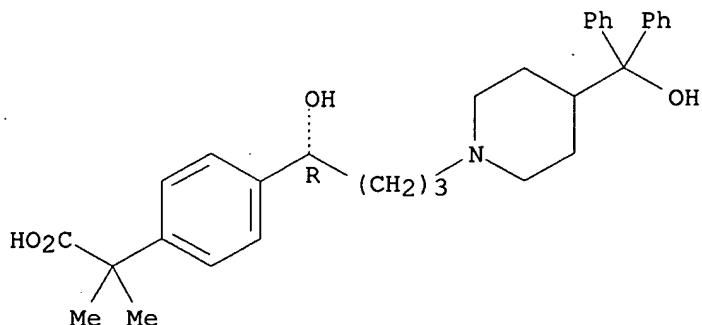
MF C32 H39 N O4 . Cl H

SR CA

LC STN Files: ADISINSIGHT, CA, CAPLUS, CASREACT, IMSPATENTS, IMSRESEARCH, TOXCENTER

CRN (139965-10-9)

Absolute stereochemistry. Rotation (+).



● HCl

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 35 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 138515-56-7 REGISTRY

ED Entered STN: 24 Jan 1992

CN Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl-, hydrochloride, (S)-

OTHER NAMES:

CN (-)-(S)-MDL 16455A

FS STEREOSEARCH

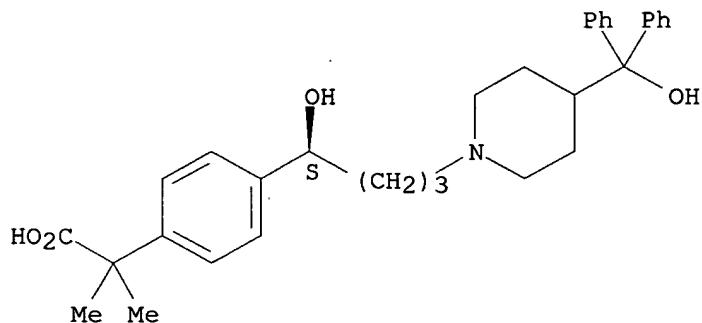
MF C32 H39 N O4 . Cl H

SR CA

LC STN Files: ADISINSIGHT, CA, CAPLUS, CASREACT, IMSPATENTS, IMSRESEARCH, TOXCENTER

CRN (139965-11-0)

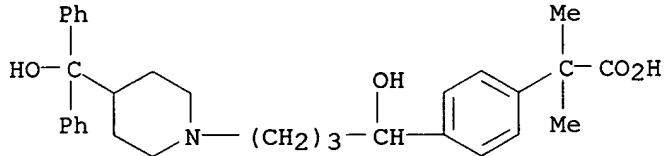
Absolute stereochemistry. Rotation (-).



● HCl

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 36 OF 36 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 83799-24-0 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]- $\alpha$ , $\alpha$ -dimethyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 4-[4-[4-(Hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]- $\alpha$ , $\alpha$ -dimethylphenylacetic acid  
CN Carboxyterfenadine  
CN Fexofenadine  
CN MDL 16455  
CN Terfenadine acid metabolite  
CN Terfenadine carboxylate  
DR 159389-12-5, 76815-58-2  
MF C32 H39 N O4  
CI COM  
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, CA, CAPLUS,  
CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IMSDRUGNEWS,  
IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PROMT, PROUSDDR, PS,  
RTECS\*, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

549 REFERENCES IN FILE CA (1907 TO DATE)  
15 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
550 REFERENCES IN FILE CAPLUS (1907 TO DATE)